

09/14/2006 10810517.trn

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Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 4 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records
NEWS 5 MAY 11 KOREAPAT updates resume
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and
USPATFULL/USPAT2
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in
INPADOC
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and
and display fields
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced
NEWS 13 JUL 14 FSTA enhanced with Japanese patents
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 17 AUG 30 CA(SM)/CAPLUS(SM) Austrian patent law changes
NEWS 18 SEP 11 CA/CAPLUS enhanced with more pre-1907 records

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 09:51:46 ON 14 SEP 2006

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:51:59 ON 14 SEP 2006
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STRUCTURE FILE UPDATES: 13 SEP 2006 HIGHEST RN 906624-07-5
DICTIONARY FILE UPDATES: 13 SEP 2006 HIGHEST RN 906624-07-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

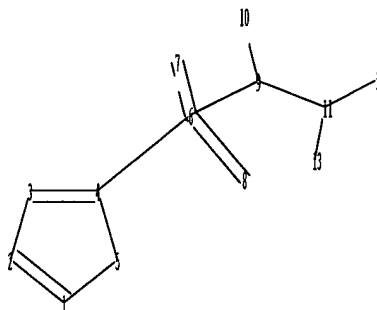
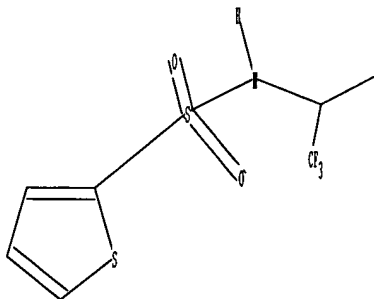
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10810517.str



chain nodes :

6 7 8 9 10 11 12 13

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ring nodes :
1 2 3 4 5
chain bonds :
4-6 6-7 6-8 6-9 9-10 9-11 11-12 11-13
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
4-6 6-7 6-8 6-9 9-11
exact bonds :
1-2 1-5 2-3 3-4 4-5 9-10 11-12 11-13
isolated ring systems :
containing 1 :

Match level :

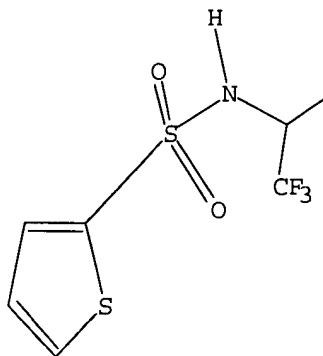
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 09:52:13 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 11 TO 389

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

0 ANSWERS

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=> s l1 sss full
FULL SEARCH INITIATED 09:52:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 228 TO ITERATE

100.0% PROCESSED 228 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 166.94 167.15

FILE 'HCAPLUS' ENTERED AT 09:52:25 ON 14 SEP 2006
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FILE COVERS 1907 - 14 Sep 2006 VOL 145 ISS 12
FILE LAST UPDATED: 13 Sep 2006 (20060913/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 0 L3

=> FIL REGISTRY
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 5.06 172.21

FILE 'REGISTRY' ENTERED AT 09:53:44 ON 14 SEP 2006
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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

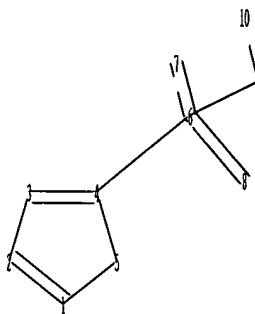
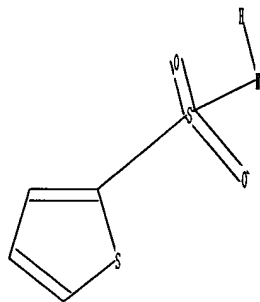
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10810517a.str



chain nodes :
6 7 8 9 10
ring nodes :
1 2 3 4 5
chain bonds :
4-6 6-7 6-8 6-9 9-10
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
4-6 6-7 6-8 6-9
exact bonds :
1-2 1-5 2-3 3-4 4-5 9-10
isolated ring systems :
containing 1 :

Match level :

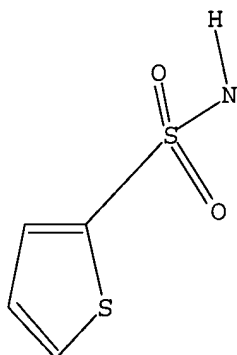
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 09:54:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1723 TO ITERATE

100.0% PROCESSED 1723 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 31970 TO 36950
PROJECTED ANSWERS: 15821 TO 19379

L6 50 SEA SSS SAM L5

=> s 15 sss full

FULL SEARCH INITIATED 09:54:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 34952 TO ITERATE

100.0% PROCESSED 34952 ITERATIONS
SEARCH TIME: 00.00.01

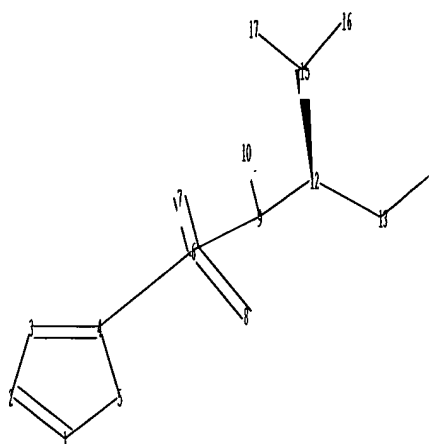
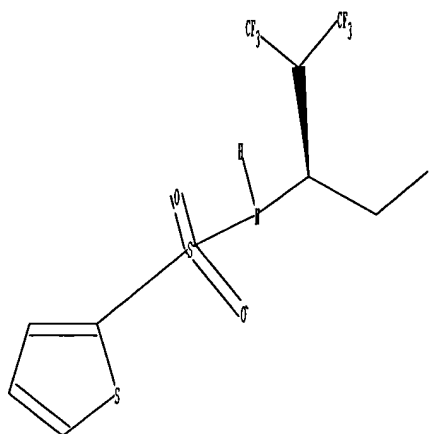
L7 18322 SEA SSS FUL L5

=>

Uploading C:\Program Files\Stnexp\Queries\10810517b.str

50 ANSWERS

18322 ANSWERS



chain nodes :
 6 7 8 9 10 12 13 14 15 16 17
 ring nodes :
 1 2 3 4 5
 chain bonds :
 4-6 6-7 6-8 6-9 9-10 9-12 12-13 12-15 13-14 15-16 15-17
 ring bonds :
 1-2 1-5 2-3 3-4 4-5
 exact/norm bonds :
 4-6 6-7 6-8 6-9 9-12
 exact bonds :
 1-2 1-5 2-3 3-4 4-5 9-10 12-13 12-15 13-14 15-16 15-17
 isolated ring systems :
 containing 1 :

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
 10:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

Stereo Bonds:

15-12 (Single Wedge) .

Stereo Chiral Centers:

12 (Parity=Don't Care)

Stereo RSS Sets:

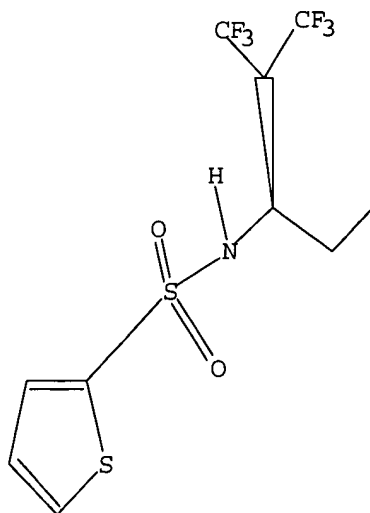
Type=Relative (Default). 1 Nodes= 12

L8 STRUCTURE UPLOADED

=> d 18

L8 HAS NO ANSWERS

L8 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l8

SAMPLE SEARCH INITIATED 09:57:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L9 0 SEA SSS SAM L8

=> s l8 sss full

FULL SEARCH INITIATED 09:57:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS
SEARCH TIME: 00.00.01

1 ANSWERS

L10 1 SEA SSS FUL L8

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
336.08	508.29

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 09:57:59 ON 14 SEP 2006
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FILE LAST UPDATED: 13 Sep 2006 (20060913/ED)

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=> s l10

L11 1 L10

=> d his

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FILE 'REGISTRY' ENTERED AT 09:51:59 ON 14 SEP 2006

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 1 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 09:52:25 ON 14 SEP 2006

L4 0 S L3

FILE 'REGISTRY' ENTERED AT 09:53:44 ON 14 SEP 2006

L5 STRUCTURE UPLOADED

L6 50 S L5

L7 18322 S L5 SSS FULL

L8 STRUCTURE UPLOADED

L9 0 S L8

L10 1 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 09:57:59 ON 14 SEP 2006

L11 1 S L10

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

5.06

513.35

FILE 'REGISTRY' ENTERED AT 09:58:56 ON 14 SEP 2006

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

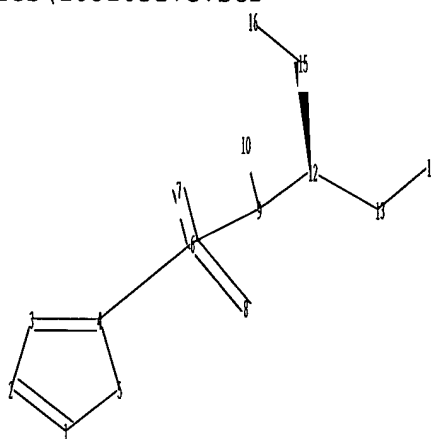
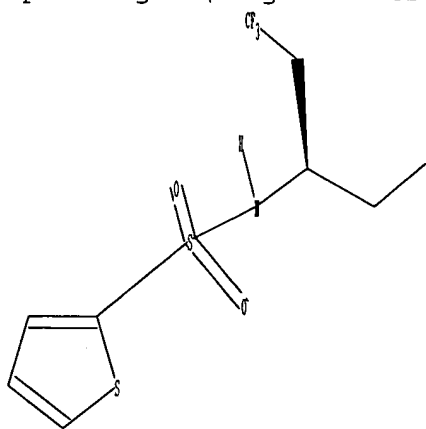
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

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chain nodes :
6 7 8 9 10 12 13 14 15 16
ring nodes :
1 2 3 4 5
chain bonds :
4-6 6-7 6-8 6-9 9-10 9-12 12-13 12-15 13-14 15-16
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
4-6 6-7 6-8 6-9 9-12
exact bonds :
1-2 1-5 2-3 3-4 4-5 9-10 12-13 12-15 13-14 15-16
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

Stereo Bonds:

15-12 (Single Wedge).

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Stereo Chiral Centers:

12 (Parity=Don't Care)

Stereo RSS Sets:

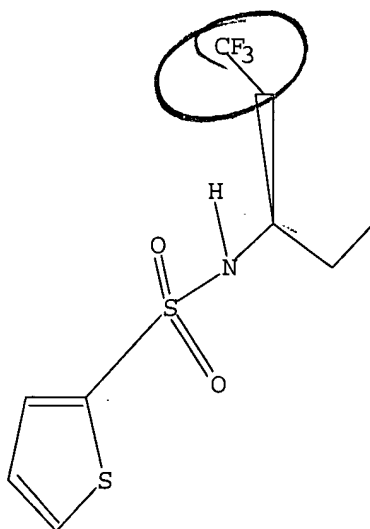
Type=Relative (Default). 1 Nodes= 12

L12 STRUCTURE UPLOADED

=> d 112

L12 HAS NO ANSWERS

L12 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 112

SAMPLE SEARCH INITIATED 10:00:34 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L13 0 SEA SSS SAM L12

=> s 112 sss full

FULL SEARCH INITIATED 10:00:41 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS

SEARCH TIME: 00.00.01

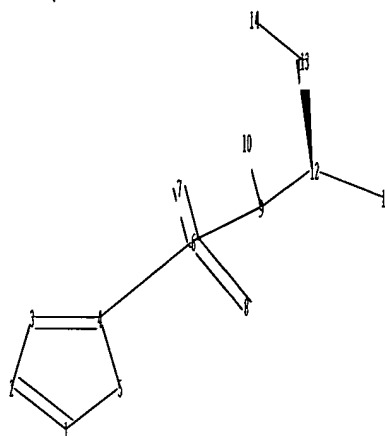
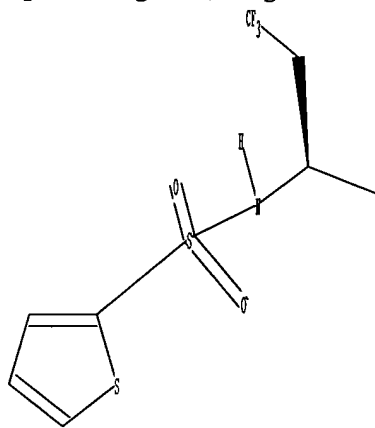
2 ANSWERS

09/14/2006 10810517.trn

L14 2 SEA SSS FUL L12

=>

Uploading C:\Program Files\Stnexp\Queries\10810517d.str



chain nodes :

6 7 8 9 10 12 13 14 15

ring nodes :

1 2 3 4 5

chain bonds :

4-6 6-7 6-8 6-9 9-10 9-12 12-13 12-15 13-14

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

4-6 6-7 6-8 6-9 9-12

exact bonds :

1-2 1-5 2-3 3-4 4-5 9-10 12-13 12-15 13-14

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS

Stereo Bonds:

13-12 (Single Wedge).

Stereo Chiral Centers:

12 (Parity=Don't Care)

Stereo RSS Sets:

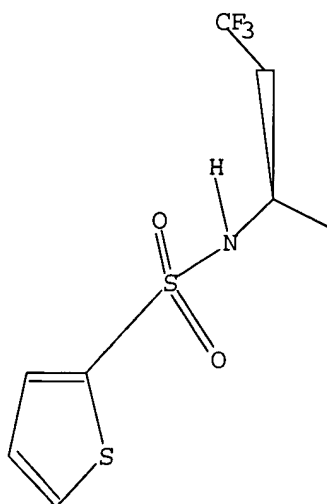
Type=Relative (Default). 1 Nodes= 12

L15 STRUCTURE UPLOADED

=> d l15

L15 HAS NO ANSWERS

L15 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l15

SAMPLE SEARCH INITIATED 10:02:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4 TO 200
PROJECTED ANSWERS: 1 TO 80

L16 1 SEA SSS SAM L15

=> s l15 sss full

FULL SEARCH INITIATED 10:03:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 46 TO ITERATE

100.0% PROCESSED 46 ITERATIONS
SEARCH TIME: 00.00.01

L17 9 SEA SSS FUL L15

=> FIL HCAPLUS

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE
ENTRY
336.08

TOTAL
SESSION
849.43

FILE 'HCAPLUS' ENTERED AT 10:03:07 ON 14 SEP 2006
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=> d his

(FILE 'HOME' ENTERED AT 09:51:46 ON 14 SEP 2006)

FILE 'REGISTRY' ENTERED AT 09:51:59 ON 14 SEP 2006

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 1 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 09:52:25 ON 14 SEP 2006

L4 0 S L3

FILE 'REGISTRY' ENTERED AT 09:53:44 ON 14 SEP 2006

L5 STRUCTURE UPLOADED
L6 50 S L5
L7 18322 S L5 SSS FULL
L8 STRUCTURE UPLOADED
L9 0 S L8
L10 1 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 09:57:59 ON 14 SEP 2006

L11 1 S L10

FILE 'REGISTRY' ENTERED AT 09:58:56 ON 14 SEP 2006

L12 STRUCTURE UPLOADED
L13 0 S L12
L14 2 S L12 SSS FULL
L15 STRUCTURE UPLOADED
L16 1 S L15
L17 9 S L15 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:03:07 ON 14 SEP 2006

=> s l14

L18 2 L14

=> s l17

L19 2 L17

=> s l7 and p/dt
1572 L7

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5403265 P/DT
L20 1200 L7 AND P/DT

=> s 120 and us/pc
1588939 US/PC
L21 818 L20 AND US/PC

=> s 121 and py<=2003
23874045 PY<=2003
L22 634 L21 AND PY<=2003

=> s 122 and diseases
240679 DISEASES
1 DISEASESESES
240680 DISEASES
(DISEASES OR DISEASESESES)
L23 130 L22 AND DISEASES

=> s 123 and beta amyloid
1403011 BETA
1327 BETAS
1403086 BETA
(BETA OR BETAS)
24648 AMYLOID
1696 AMYLOIDS
24738 AMYLOID
(AMYLOID OR AMYLOIDS)
7904 BETA AMYLOID
(BETA(W)AMYLOID)
L24 3 L23 AND BETA AMYLOID

=> d his

(FILE 'HOME' ENTERED AT 09:51:46 ON 14 SEP 2006)

FILE 'REGISTRY' ENTERED AT 09:51:59 ON 14 SEP 2006

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 1 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 09:52:25 ON 14 SEP 2006

L4 0 S L3

FILE 'REGISTRY' ENTERED AT 09:53:44 ON 14 SEP 2006

L5 STRUCTURE UPLOADED
L6 50 S L5
L7 18322 S L5 SSS FULL
L8 STRUCTURE UPLOADED
L9 0 S L8
L10 1 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 09:57:59 ON 14 SEP 2006

L11 1 S L10

FILE 'REGISTRY' ENTERED AT 09:58:56 ON 14 SEP 2006

L12 STRUCTURE UPLOADED
L13 0 S L12
L14 2 S L12 SSS FULL
L15 STRUCTURE UPLOADED

09/14/2006 10810517.trn

L16 1 S L15
L17 9 S L15 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:03:07 ON 14 SEP 2006

L18 2 S L14
L19 2 S L17
L20 1200 S L7 AND P/DT
L21 818 S L20 AND US/PC
L22 634 S L21 AND PY<=2003
L23 130 S L22 AND DISEASES
L24 3 S L23 AND BETA AMYLOID

=> d l11 ibib abs hitstr tot

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS OR STN

ACCESSION NUMBER: 2004:825139 HCAPLUS

DOCUMENT NUMBER: 141:314147

TITLE: A preparation of fluoro- and trifluoroalkyl-containing heterocyclic sulfonamides, useful as inhibitors of β -amyloid production

INVENTOR(S): Kreft, Anthony Frank; Resnick, Lynn; Mayer, Scott
Christian; Diamantidis, George; Cole, Derek Cecil;
Harrison, Boyd Lynn; Zhang, Minsheng; Hoke, Molly;
Wang, Tingzhong; Galante, Rocco John

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 41 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

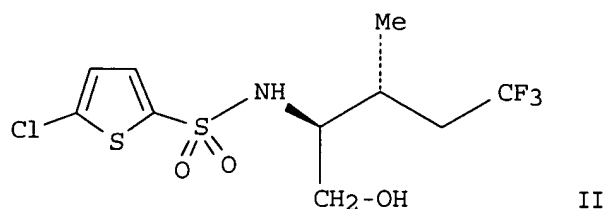
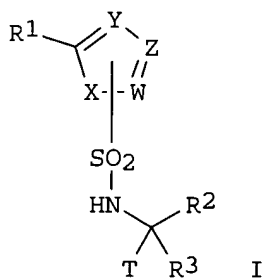
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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AU 2004230844	A1	20041028	AU 2004-230844	20040326
CA 2517155	AA	20041028	CA 2004-2517155	20040326
WO 2004092155	A1	20041028	WO 2004-US9268	20040326
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1608638	A1	20051228	EP 2004-758978	20040326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
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CN 1780829	A	20060531	CN 2004-80008781	20040326
NO 2005004263	A	20051214	NO 2005-4263	20050915
PRIORITY APPLN. INFO.:			US 2003-459228P	P 20030331
			WO 2004-US9268	A 20040326

OTHER SOURCE(S): MARPAT 141:314147

GI



AB The invention relates to a preparation of compds. of formula I [wherein: T is CHO, C(O)CF₃, C(O)-alkyl, or CH₂OH, etc.; X is O, S, SO₂, or NH, etc.; W, Y, and Z are independently selected from C, CH, C-halogen, or N with proviso that at least one of W or Y or Z must be C; R₁ is H, halogen, CF₃, or diene, etc.; R₂ is (CF₃)₁₋₃(alkyl/alkylphenyl) or (F)₁₋₃cycloalkyl, etc.; R₃ is H or alkyl], useful as inhibitors of β -amyloid production. The methods of preparing and using these compds. for inhibiting β -amyloid production and for treatment of Alzheimer's disease and Down's syndrome are described. The prepared compds. were screened in A β 40/42 assay and repressor release assay (RRA). For instance, compound (+)-II showed 3.2-3.7 fold increase in luciferase activity at 20 μ g/mL (RRA).

IT 769169-96-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

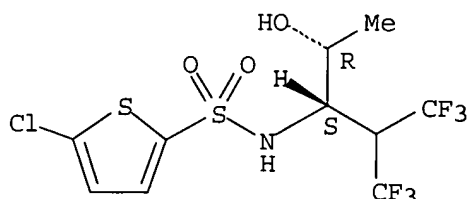
(preparation of fluoro- and trifluoroalkyl-containing heterocyclic sulfonamides,

useful as inhibitors of β -amyloid production)

RN 769169-96-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-3,3,3-trifluoro-1-[(1R)-1-hydroxyethyl]-2-(trifluoromethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d l18 ibib abs hitstr tot

L18 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:825139 HCAPLUS

DOCUMENT NUMBER: 141:314147

TITLE: A preparation of fluoro- and trifluoroalkyl-containing heterocyclic sulfonamides, useful as inhibitors of β -amyloid production

INVENTOR(S): Kreft, Anthony Frank; Resnick, Lynn; Mayer, Scott

Christian; Diamantidis, George; Cole, Derek Cecil;
 Harrison, Boyd Lynn; Zhang, Minsheng; Hoke, Molly;
 Wang, Tingzhong; Galante, Rocco John
 PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
 SOURCE: U.S. Pat. Appl. Publ., 41 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004198778	A1	20041007	US 2004-810517	20040326
AU 2004230844	A1	20041028	AU 2004-230844	20040326
CA 2517155	AA	20041028	CA 2004-2517155	20040326
WO 2004092155	A1	20041028	WO 2004-US9268	20040326

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

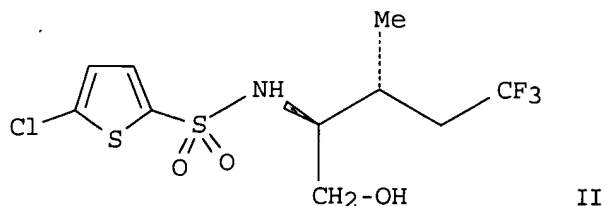
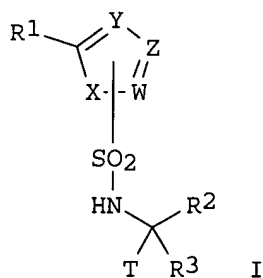
EP 1608638	A1	20051228	EP 2004-758978	20040326
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

BR 2004008962	A	20060404	BR 2004-8962	20040326
CN 1780829	A	20060531	CN 2004-80008781	20040326
NO 2005004263	A	20051214	NO 2005-4263	20050915

PRIORITY APPLN. INFO.: US 2003-459228P P 20030331
 WO 2004-US9268 A 20040326

OTHER SOURCE(S): MARPAT 141:314147
 GI



AB The invention relates to a preparation of compds. of formula I [wherein: T is CHO, C(O)CF₃, C(O)-alkyl, or CH₂OH, etc.; X is O, S, SO₂, or NH, etc.; W, Y, and Z are independently selected from C, CH, C-halogen, or N with proviso that at least one of W or Y or Z must be C; R₁ is H, halogen, CF₃,

or diene, etc.; R₂ is (CF₃)₁₋₃(alkyl/alkylphenyl) or (F)₁₋₃cycloalkyl, etc.; R₃ is H or alkyl], useful as inhibitors of β -amyloid production. The methods of preparing and using these compds. for inhibiting β -amyloid production and for treatment of Alzheimer's disease and Down's syndrome are described. The prepared compds. were screened in A β 40/42 assay and repressor release assay (RRA). For instance, compound (+)-II showed 3.2-3.7 fold increase in luciferase activity at 20 μ g/mL (RRA).

IT 769169-96-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

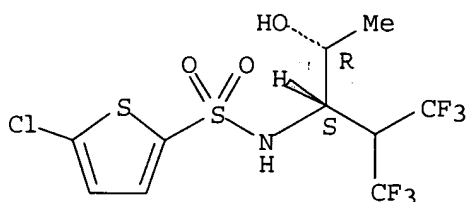
(preparation of fluoro- and trifluoroalkyl-containing heterocyclic sulfonamides,

useful as inhibitors of β -amyloid production)

RN 769169-96-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-3,3,3-trifluoro-1-[(1R)-1-hydroxyethyl]-2-(trifluoromethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:555481 HCAPLUS

DOCUMENT NUMBER: 137:125077

TITLE: Preparation of N-substituted thiophene- and furansulfonamides as inhibitors of β -amyloid production.

INVENTOR(S): Kreft, Anthony Frank; Cole, Derek Cecil; Woller, Kevin Roger; Stock, Joseph Raymond; Diamantitis, George; ~~Rutbrak, Dannie Michael~~; Kutterer, Kristina Martha; ~~Moore, William Jay~~; Casebier, David Scott

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA; Arqule, Inc.

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

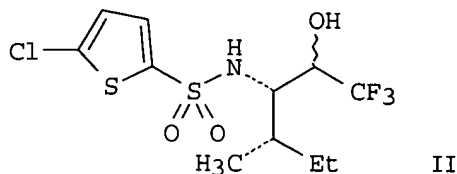
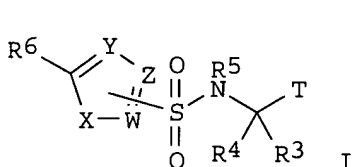
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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WO 2002057252	A3	20021212		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,			

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 EP 1341779 A2 20030910 EP 2001-993277 20011211
 EP 1341779 B1 20060621
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 JP 2004517892 T2 20040617 JP 2002-557933 20011211
 BR 2001016063 A 20040803 BR 2001-16063 20011211
 NZ 526213 A 20050527 NZ 2001-526213 20011211
 CN 1800176 A 20060712 CN 2005-10003591 20011211
 AT 330950 E 20060715 AT 2001-993277 20011211
 TW 235155 B1 20050701 TW 2001-90130721 20011212
 ZA 2003004135 A 20040903 ZA 2003-4135 20030528
 NO 2003002645 A 20030805 NO 2003-2645 20030611
 US 2005196813 A1 20050908 US 2005-81784 20050316
 PRIORITY APPLN. INFO.: US 2000-255105P P 20001213
 CN 2001-820518 A3 20011211
 US 2001-14304 A1 20011211
 WO 2001-US48375 W 20011211
 US 2003-455674 A1 20030605
 OTHER SOURCE(S): MARPAT 137:125077
 GI



AB I [n = 2-5; T = R1R2C(OH), OHC, HON:CH; W, Y, Z = C, R10C, N (one of W, Y, or Z must be C); X = O, S, SO2, R11N; R1, R2 = H, (un)substituted alkyl, F3C, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted Ph, ω-(1,3-dioxan-2-yl)(CH2)n; R3 = H, (un)substituted alkyl; R4 = H, (un)substituted alkyl, (un)substituted alkylcycloalkyl, (un)substituted alkenyl, (un)substituted alkylfuranyl, (un)substituted alkylpyridyl, etc. (R3, R4 are not both H) or R3R4 = ring; R5 = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkylmethyl, (un)substituted benzyl, etc.; R6 = H, halo, F3C; R10 = H, halo; R11 = H, (un)substituted alkyl, (un)substituted benzyl, (un)substituted phenyl; if the compound has more than one chiral center, at least one must have (S)-stereochem.] are prepared and tested as inhibitors of β-amyloid production for the treatment of diseases such as Alzheimer's disease. Biol. data of the inhibition of β-amyloid production are obtained for all example compds. I did not show toxicity in the repressor removal assay for inhibition of β-amyloid production; no losses in luciferase-mediated emission consistent with toxicity are seen. E.g., (S)-isoleucinol is sulfonylated with 5-chloro-2-thiophenesulfonyl chloride with Et3N in MeCN to give a sulfonamide which is oxidized with PCC in CH2Cl2 to give the aldehyde; TBAF-mediated addition of Me3SiCF3 in THF and quenching with HCl to the aldehyde gives II. E.g., in the presence of 20 μg/mL II, the luciferase-mediated luminescence of a sample is increased by a factor of 20.7.

IT 443990-71-4P

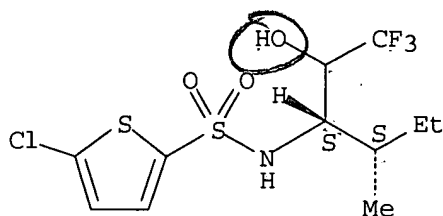
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(invention compds.; preparation of N-substituted thiophene- and furansulfonamides as inhibitors of β -amyloid production in the treatment of β -amyloid mediated diseases such as Alzheimer's disease)

RN 443990-71-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-2-methyl-1-(2,2,2-trifluoro-1-hydroxyethyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d 119 ibib abs hitstr tot

L19 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:825139 HCAPLUS

DOCUMENT NUMBER: 141:314147

TITLE: A preparation of fluoro- and trifluoroalkyl-containing heterocyclic sulfonamides, useful as inhibitors of β -amyloid production

INVENTOR(S): Kreft, Anthony Frank; Resnick, Lynn; Mayer, Scott; Christian, Diamantidis, George; Cole, Derek Cecil; Harrison, Boyd Lynn; Zhang, Minsheng; Hoke, Molly; Wang, Tingzhong; Galante, Rocco John

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 41 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

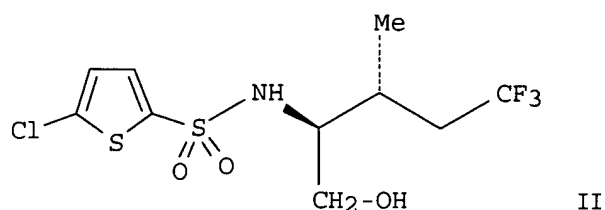
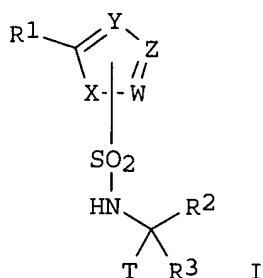
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004198778	A1	20041007	US 2004-810517	20040326
AU 2004230844	A1	20041028	AU 2004-230844	20040326
CA 2517155	AA	20041028	CA 2004-2517155	20040326
WO 2004092155	A1	20041028	WO 2004-US9268	20040326

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,

SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
 TD, TG
 EP 1608638 A1 20051228 EP 2004-758978 20040326
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
 BR 2004008962 A 20060404 BR 2004-8962 20040326
 CN 1780829 A 20060531 CN 2004-80008781 20040326
 NO 2005004263 A 20051214 NO 2005-4263 20050915
 PRIORITY APPLN. INFO.: US 2003-459228P P 20030331
 WO 2004-US9268 A 20040326
 OTHER SOURCE(S): MARPAT 141:314147
 GI



AB The invention relates to a preparation of compds. of formula I [wherein: T is CHO, C(O)CF₃, C(O)-alkyl, or CH₂OH, etc.; X is O, S, SO₂, or NH, etc.; W, Y, and Z are independently selected from C, CH, C-halogen, or N with proviso that at least one of W or Y or Z must be C; R₁ is H, halogen, CF₃, or diene, etc.; R₂ is (CF₃)₁₋₃(alkyl/alkylphenyl) or (F)₁₋₃cycloalkyl, etc.; R₃ is H or alkyl], useful as inhibitors of β -amyloid production. The methods of preparing and using these compds. for inhibiting β -amyloid production and for treatment of Alzheimer's disease and Down's syndrome are described. The prepared compds. were screened in A β 40/42 assay and repressor release assay (RRA). For instance, compound (+)-II showed 3.2-3.7 fold increase in luciferase activity at 20 μ g/mL (RRA).

IT 769169-27-9P 769169-63-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

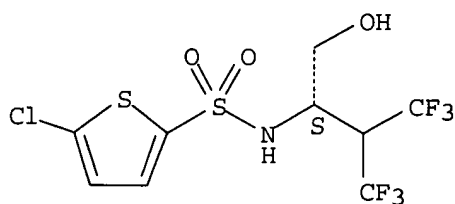
(preparation of fluoro- and trifluoroalkyl-containing heterocyclic sulfonamides,

useful as inhibitors of β -amyloid production)

RN 769169-27-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]- (9CI) (CA INDEX NAME)

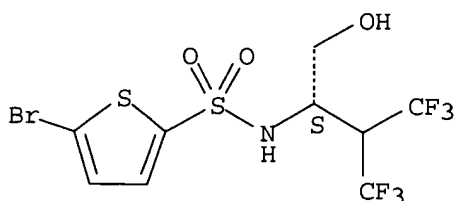
Absolute stereochemistry.



RN 769169-63-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 769169-53-1P 769169-65-5P 769169-86-0P

769169-87-1P 769169-96-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

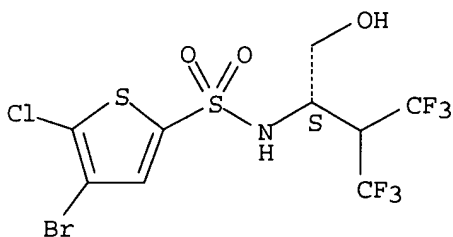
(preparation of fluoro- and trifluoroalkyl-containing heterocyclic sulfonamides,

useful as inhibitors of β -amyloid production)

RN 769169-53-1 HCAPLUS

CN 2-Thiophenesulfonamide, 4-bromo-5-chloro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]- (9CI) (CA INDEX NAME)

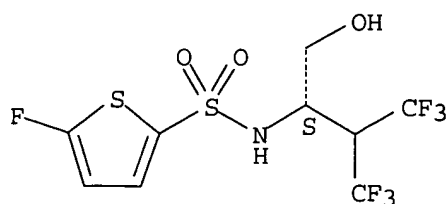
Absolute stereochemistry.



RN 769169-65-5 HCAPLUS

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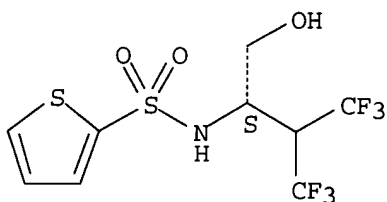
Absolute stereochemistry.



RN 769169-86-0 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]- (9CI) (CA INDEX NAME)

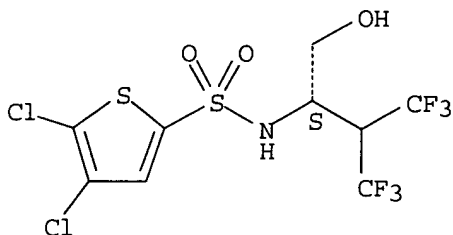
Absolute stereochemistry.



RN 769169-87-1 HCAPLUS

CN 2-Thiophenesulfonamide, 4,5-dichloro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]- (9CI) (CA INDEX NAME)

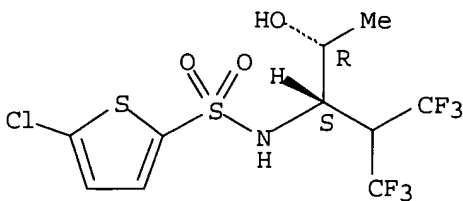
Absolute stereochemistry.



RN 769169-96-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-3,3,3-trifluoro-1-[(1R)-1-hydroxyethyl]-2-(trifluoromethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 769169-66-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

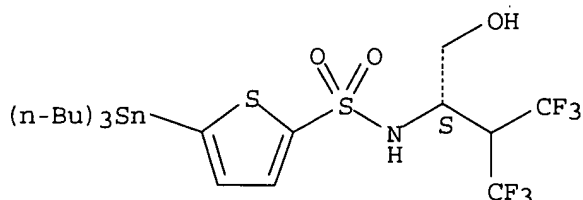
(preparation of fluoro- and trifluoroalkyl-containing heterocyclic sulfonamides,

useful as inhibitors of β -amyloid production)

RN 769169-66-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-(tributylstannyl)-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:555481 HCAPLUS

DOCUMENT NUMBER: 137:125077

TITLE: Preparation of N-substituted thiophene- and furansulfonamides as inhibitors of β -amyloid production

INVENTOR(S): Kreft, Anthony Frank; Cole, Derek Cecil; Woller, Kevin
 Roger Stock, Joseph Raymond; Diamanitis, George;
 Kucbrak, Dennis Michael; Kutterer, Kristina Martha;
 Moore, William Jay; Casebier, David Scott

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA; Arqule, Inc.

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

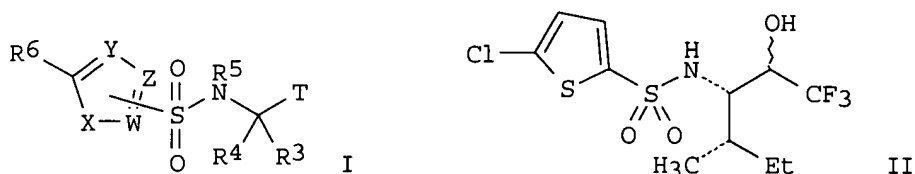
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057252	A2	20020725	WO 2001-US48375	20011211
WO 2002057252	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
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CA 2436526	AA	20020725	CA 2001-2436526	20011211
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EP 1341779	B1	20060621		
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CN 1503790	A	20040609	CN 2001-820518	20011211
JP 2004517892	T2	20040617	JP 2002-557933	20011211
BR 2001016063	A	20040803	BR 2001-16063	20011211
NZ 526213	A	20050527	NZ 2001-526213	20011211

CN 1800176	A	20060712	CN 2005-10003591	20011211
AT 330950	E	20060715	AT 2001-993277	20011211
TW 235155	B1	20050701	TW 2001-90130721	20011212
ZA 2003004135	A	20040903	ZA 2003-4135	20030528
NO 2003002645	A	20030805	NO 2003-2645	20030611
US 2005196813	A1	20050908	US 2005-81784	20050316
PRIORITY APPLN. INFO.:			US 2000-255105P	P 20001213
			CN 2001-820518	A3 20011211
			US 2001-14304	A1 20011211
			WO 2001-US48375	W 20011211
			US 2003-455674	A1 20030605
OTHER SOURCE(S):	MARPAT 137:125077			
GI				



AB I [n = 2-5; T = R₁R₂C(OH), OHC, HON:CH; W, Y, Z = C, R₁₀C, N (one of W, Y, or Z must be C); X = O, S, SO₂, R₁₁N; R₁, R₂ = H, (un)substituted alkyl, F₃C, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted Ph, ω-(1,3-dioxan-2-yl)(CH₂)_n; R₃ = H, (un)substituted alkyl; R₄ = H, (un)substituted alkyl, (un)substituted alkylcycloalkyl, (un)substituted alkenyl, (un)substituted alkylfuranyl, (un)substituted alkylpyridyl, etc. (R₃, R₄ are not both H) or R₃R₄ = ring; R₅ = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkylmethyl, (un)substituted benzyl, etc.; R₆ = H, halo, F₃C; R₁₀ = H, halo; R₁₁ = H, (un)substituted alkyl, (un)substituted benzyl, (un)substituted phenyl; if the compound has more than one chiral center, at least one must have (S)-stereochem.] are prepared and tested as inhibitors of β-amyloid production for the treatment of diseases such as Alzheimer's disease. Biol. data of the inhibition of β-amyloid production are obtained for all example compds. I did not show toxicity in the repressor removal assay for inhibition of β-amyloid production; no losses in luciferase-mediated emission consistent with toxicity are seen. E.g., (S)-isoleucinol is sulfonylated with 5-chloro-2-thiophenesulfonyl chloride with Et₃N in MeCN to give a sulfonamide which is oxidized with PCC in CH₂Cl₂ to give the aldehyde; TBAF-mediated addition of Me₃SiCF₃ in THF and quenching with HCl to the aldehyde gives II. E.g., in the presence of 20 μg/mL II, the luciferase-mediated luminescence of a sample is increased by a factor of 20.7.

IT 443990-71-4P

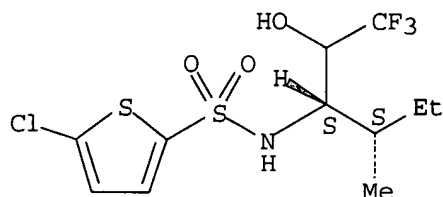
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(invention compds.; preparation of N-substituted thiophene- and furansulfonamides as inhibitors of β-amyloid production in the treatment of β-amyloid mediated diseases such as Alzheimer's disease)

RN 443990-71-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-2-methyl-1-(2,2,2-trifluoro-1-hydroxyethyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d 124 ibib abs hitstr tot

L24 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:696859 HCAPLUS

DOCUMENT NUMBER: 139:230480

TITLE: Preparation of substituted amines prodrugs useful in treating Alzheimer's disease

INVENTOR(S): Varghese, John; Jagodzinska, Barbara; Maillard, Michel; Beck, James P.; Tenbrink, Ruth E.; Getman, Daniel

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn

SOURCE: PCT Int. Appl., 483 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

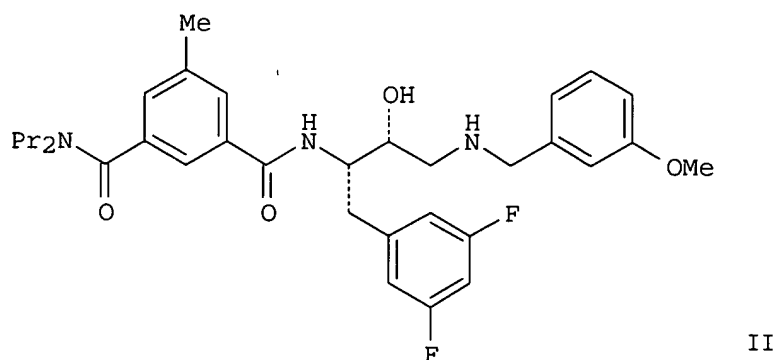
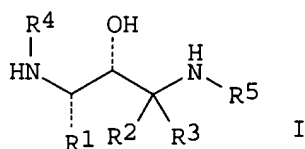
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2003072535	C1	20040930		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477607	AA	20030904	CA 2003-2477607	20030227 <--
AU 2003225730	A1	20030909	AU 2003-225730	20030227 <--
EP 1503980	A2	20050209	EP 2003-743271	20030227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007998	A	20050628	BR 2003-7998	20030227
JP 2005519082	T2	20050630	JP 2003-571242	20030227
NO 2004004046	A	20041115	NO 2004-4046	20040924
US 2006106256	A1	20060518	US 2005-505947	20050926 <--
PRIORITY APPLN. INFO.:			US 2002-359953P	P 20020227
			WO 2003-US7287	W 20030227

OTHER SOURCE(S): MARPAT 139:230480

GI



II

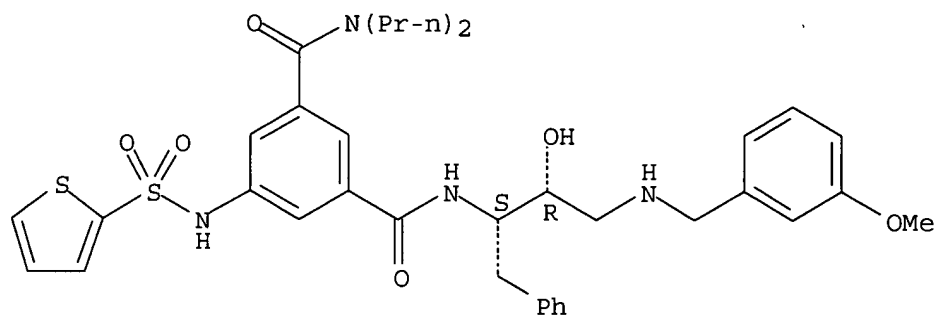
AB Amines [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO₂, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH₂)₀₋₃cycloalkyl, etc.; e.g. N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide], useful in treating Alzheimer's disease and other similar diseases, were prepared. Although the methods of preparation are not claimed, hundreds of example preps. are included. Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamide in the presence of Et₃N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II (N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide). The compds. I exhibit an IC₅₀ of < 50 μM against β-secretase.

IT 388072-07-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-[[[(thien-2-yl)sulfonyl]amino]isophthalamide hydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

RN 388072-07-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl-5-[(2-thienylsulfonyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L24 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:555481 HCAPLUS

DOCUMENT NUMBER: 137:125077

TITLE: Preparation of N-substituted thiophene- and furansulfonamides as inhibitors of β -amyloid production

INVENTOR(S): Kreft, Anthony Frank; Cole, Derek Cecil; Woller, Kevin Roger; Stock, Joseph Raymond; Diamantitis, George; Kumbhak, Dennis Michael; Kutterer, Kristina Martha; Moore, William Jay; Casebier, David Scott

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA; Arqule, Inc.

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

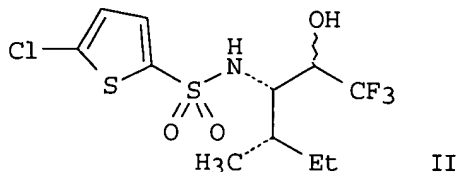
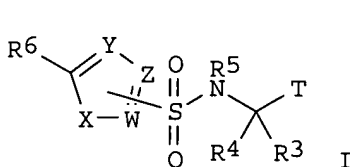
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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WO 2002057252	A3	20021212		
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EP 1341779	B1	20060621		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
CN 1503790	A	20040609	CN 2001-820518	20011211
JP 2004517892	T2	20040617	JP 2002-557933	20011211
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CN 1800176	A	20060712	CN 2005-10003591	20011211
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TW 235155	B1	20050701	TW 2001-90130721	20011212
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NO 2003002645	A	20030805	NO 2003-2645	20030611 <--
US 2005196813	A1	20050908	US 2005-81784	20050316 <--
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			CN 2001-820518	A3 20011211
			US 2001-14304	A1 20011211
			WO 2001-US48375	W 20011211
			US 2003-455674	A1 20030605
OTHER SOURCE(S):			MARPAT 137:125077	
GI				



AB I [n = 2-5; T = R₁R₂C(OH), OHC, HON:CH; W, Y, Z = C, R₁₀C, N (one of W, Y, or Z must be C); X = O, S, SO₂, R₁₁N; R₁, R₂ = H, (un)substituted alkyl, F₃C, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted Ph, ω-(1,3-dioxan-2-yl)(CH₂)_n; R₃ = H, (un)substituted alkyl; R₄ = H, (un)substituted alkyl, (un)substituted alkylcycloalkyl, (un)substituted alkenyl, (un)substituted alkylfuranyl, (un)substituted alkylpyridyl, etc. (R₃, R₄ are not both H) or R₃R₄ = ring; R₅ = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkylmethyl, (un)substituted benzyl, etc.; R₆ = H, halo, F₃C; R₁₀ = H, halo; R₁₁ = H, (un)substituted alkyl, (un)substituted benzyl, (un)substituted phenyl; if the compound has more than one chiral center, at least one must have (S)-stereochem.] are prepared and tested as inhibitors of β -amyloid production for the treatment of diseases such as Alzheimer's disease. Biol. data of the inhibition of β -amyloid production are obtained for all example compds. I did not show toxicity in the repressor removal assay for inhibition of β -amyloid production; no losses in luciferase-mediated emission consistent with toxicity are seen. E.g., (S)-isoleucinol is sulfonylated with 5-chloro-2-thiophenesulfonyl chloride with Et₃N in MeCN to give a sulfonamide which is oxidized with PCC in CH₂Cl₂ to give the aldehyde; TBAF-mediated addition of Me₃SiCF₃ in THF and quenching with HCl to the aldehyde gives II. E.g., in the presence of 20 μg/mL II, the luciferase-mediated luminescence of a sample is increased by a factor of 20.7.

IT 443990-92-9P 443990-94-1P 443990-95-2P
443990-96-3P 443991-02-4P 443991-03-5P
443991-07-9P 443991-08-0P 443991-09-1P
443991-14-8P 443991-15-9P 443991-16-0P
444103-41-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

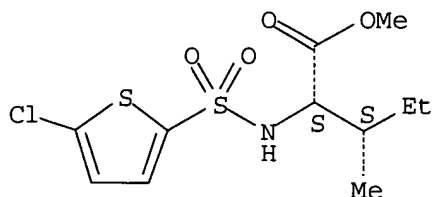
(intermediates; preparation of N-substituted thiophene- and furansulfonamides as inhibitors of β -amyloid production in the treatment of β -amyloid mediated diseases such as Alzheimer's disease)

09/14/2006 10810517.trn

RN 443990-92-9 HCAPLUS

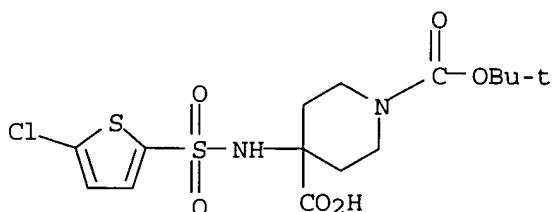
CN L-Isoleucine, N-[(5-chloro-2-thienyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



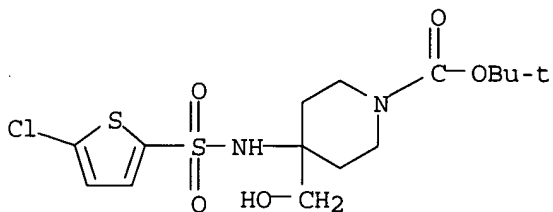
RN 443990-94-1 HCAPLUS

CN 1,4-Piperidinedicarboxylic acid, 4-[[[(5-chloro-2-thienyl)sulfonyl]amino]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



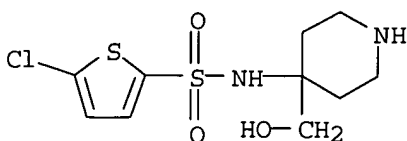
RN 443990-95-2 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(5-chloro-2-thienyl)sulfonyl]amino]-4-(hydroxymethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443990-96-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[4-(hydroxymethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

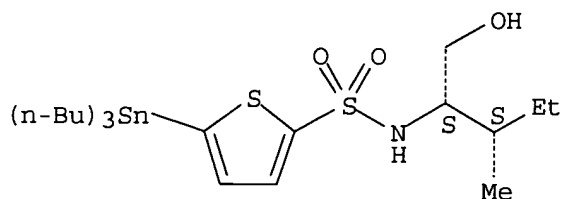


RN 443991-02-4 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]-5-

(tributylstannyl)- (9CI) (CA INDEX NAME)

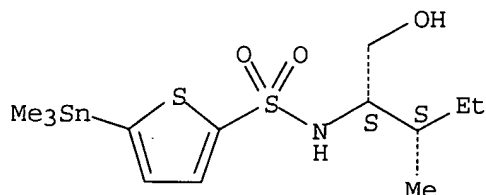
Absolute stereochemistry.



RN 443991-03-5 HCAPLUS

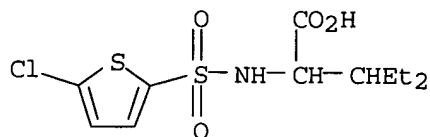
CN 2-Thiophenesulfonamide, N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]-5-(trimethylstannyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443991-07-9 HCAPLUS

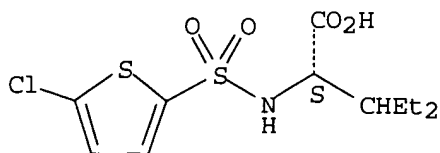
CN Norvaline, N-[(5-chloro-2-thienyl)sulfonyl]-3-ethyl- (9CI) (CA INDEX NAME)



RN 443991-08-0 HCAPLUS

CN L-Norvaline, N-[(5-chloro-2-thienyl)sulfonyl]-3-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



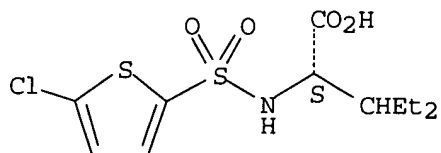
RN 443991-09-1 HCAPLUS

CN L-Norvaline, N-[(5-chloro-2-thienyl)sulfonyl]-3-ethyl-, compd. with (αS)-α-[(1R)-1-aminoethyl]benzenemethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 443991-08-0
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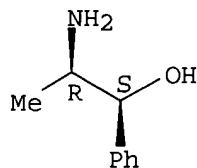
Absolute stereochemistry. Rotation (+).



CM 2

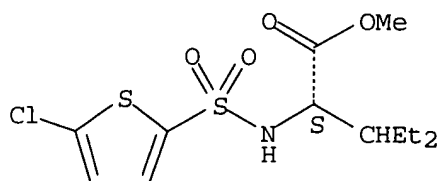
CRN 37577-28-9
CMF C9 H13 N O

Absolute stereochemistry. Rotation (+).

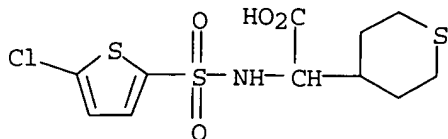


RN 443991-14-8 HCAPLUS
CN L-Norvaline, N-[(5-chloro-2-thienyl)sulfonyl]-3-ethyl-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



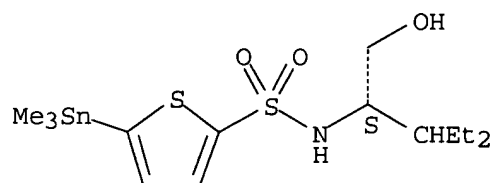
RN 443991-15-9 HCAPLUS
CN 2H-Thiopyran-4-acetic acid, α -[[5-chloro-2-thienyl)sulfonyl]amino]tetrahydro- (9CI) (CA INDEX NAME)



RN 443991-16-0 HCAPLUS
CN 2-Thiophenesulfonamide, N-[(1S)-2-ethyl-1-(hydroxymethyl)butyl]-5-(trimethylstannyl)- (9CI) (CA INDEX NAME)

09/14/2006 10810517.trn

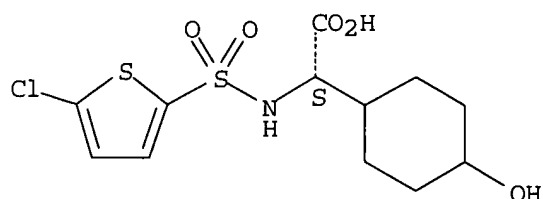
Absolute stereochemistry.



RN 444103-41-7 HCAPLUS

CN Cyclohexanecarboxylic acid, α -[[[(5-chloro-2-thienyl)sulfonyl]amino]-4-hydroxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



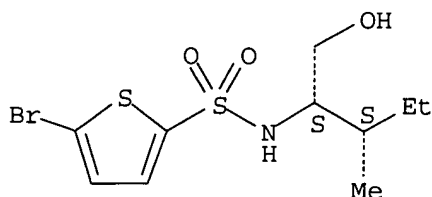
IT 443988-73-6P 443988-75-8P 443989-29-5P
443989-92-2P 443990-78-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(invention compds.; preparation of N-substituted thiophene- and furansulfonamides as inhibitors of β -amyloid production in the treatment of β -amyloid mediated diseases such as Alzheimer's disease)

RN 443988-73-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

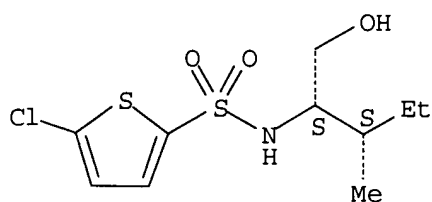
Absolute stereochemistry.



RN 443988-75-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

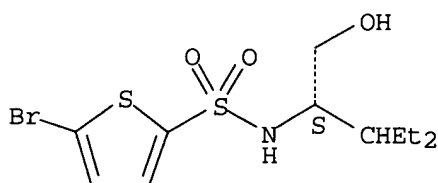
Absolute stereochemistry.



RN 443989-29-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-2-ethyl-1-(hydroxymethyl)butyl]- (9CI) (CA INDEX NAME)

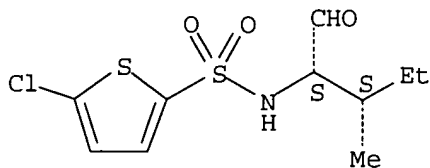
Absolute stereochemistry.



RN 443989-92-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-formyl-2-methylbutyl]- (9CI) (CA INDEX NAME)

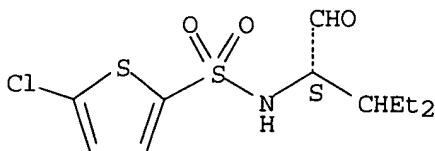
Absolute stereochemistry.



RN 443990-78-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-ethyl-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 443988-72-5P 443988-74-7P 443988-77-0P
 443988-78-1P 443988-79-2P 443988-80-5P
 443988-81-6P 443988-82-7P 443988-83-8P
 443988-84-9P 443988-85-0P 443988-86-1P
 443988-87-2P 443988-88-3P 443988-89-4P
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 443988-96-3P 443988-97-4P 443988-98-5P

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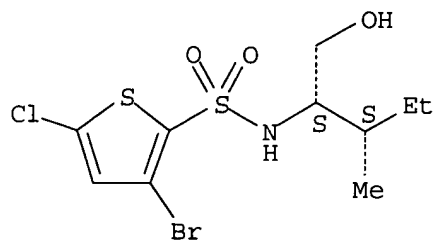
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(invention compds.; preparation of N-substituted thiophene- and furansulfonamides as inhibitors of β -amyloid production in the treatment of β -amyloid mediated diseases such as Alzheimer's disease)

RN 443988-72-5 HCAPLUS

CN 2-Thiophenesulfonamide, 3-bromo-5-chloro-N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

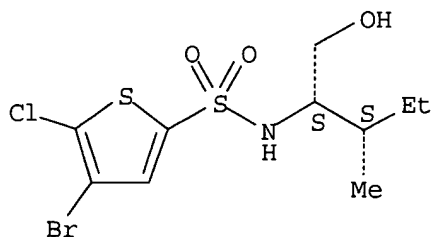
Absolute stereochemistry.



RN 443988-74-7 HCAPLUS

CN 2-Thiophenesulfonamide, 4-bromo-5-chloro-N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

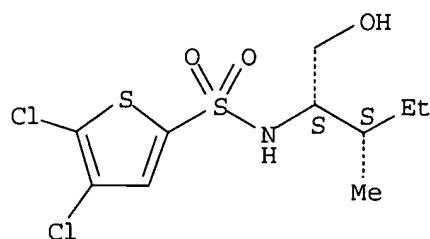
Absolute stereochemistry.



RN 443988-77-0 HCAPLUS

CN 2-Thiophenesulfonamide, 4,5-dichloro-N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

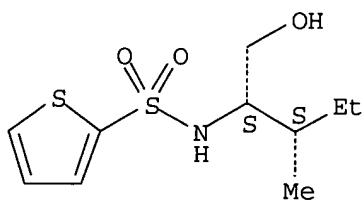
Absolute stereochemistry.



RN 443988-78-1 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]- (9CI)
(CA INDEX NAME)

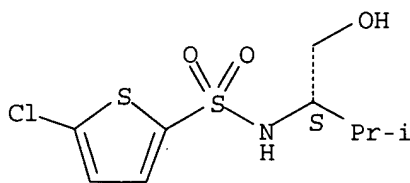
Absolute stereochemistry.



RN 443988-79-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

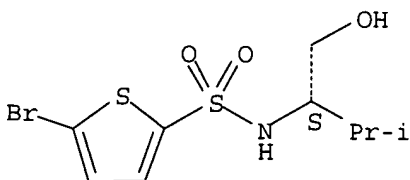
Absolute stereochemistry.



RN 443988-80-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-1-(hydroxymethyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

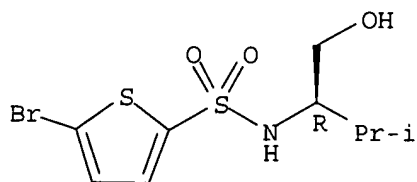
Absolute stereochemistry.



RN 443988-81-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1R)-1-(hydroxymethyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

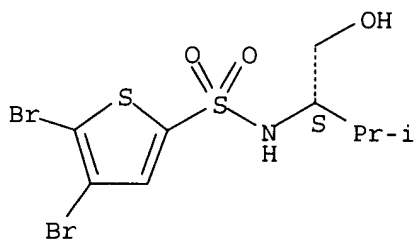
Absolute stereochemistry.



RN 443988-82-7 HCAPLUS

CN 2-Thiophenesulfonamide, 4,5-dibromo-N-[(1S)-1-(hydroxymethyl)-2-methylpropyl]- (9CI) (CA INDEX NAME)

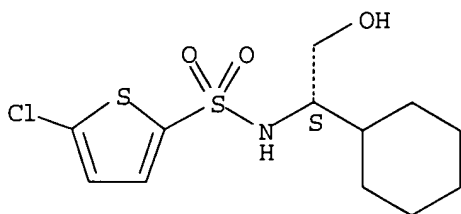
Absolute stereochemistry.



RN 443988-83-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-cyclohexyl-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

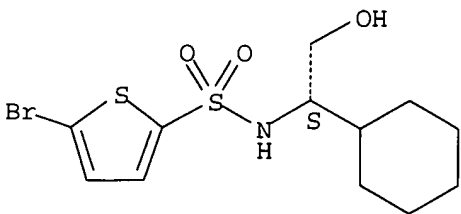
Absolute stereochemistry.



RN 443988-84-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-1-cyclohexyl-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

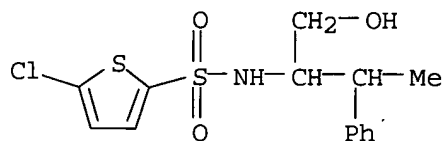
Absolute stereochemistry.



RN 443988-85-0 HCAPLUS

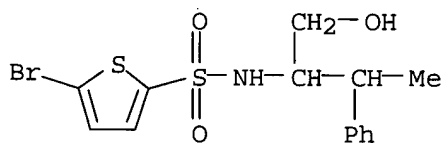
CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(hydroxymethyl)-2-phenylpropyl]-

(9CI) (CA INDEX NAME)



RN 443988-86-1 HCAPLUS

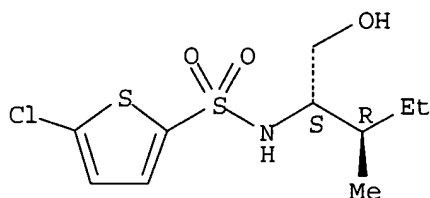
CN 2-Thiophenesulfonamide, 5-bromo-N-[1-(hydroxymethyl)-2-phenylpropyl]-
(9CI) (CA INDEX NAME)



RN 443988-87-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

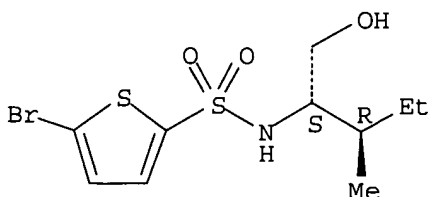
Absolute stereochemistry.



RN 443988-88-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-1-(hydroxymethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

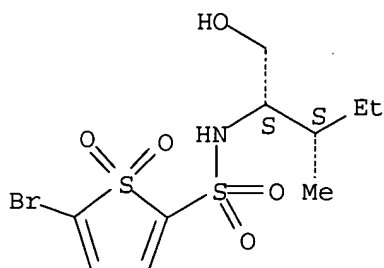
Absolute stereochemistry.



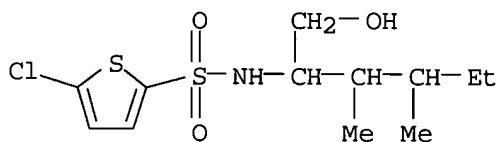
RN 443988-89-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

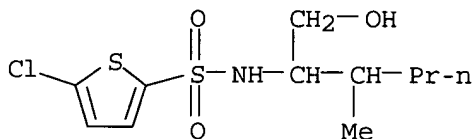
Absolute stereochemistry.



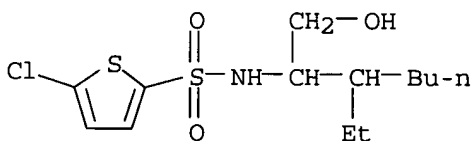
RN 443988-90-7 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(hydroxymethyl)-2,3-dimethylpentyl] - (9CI) (CA INDEX NAME)



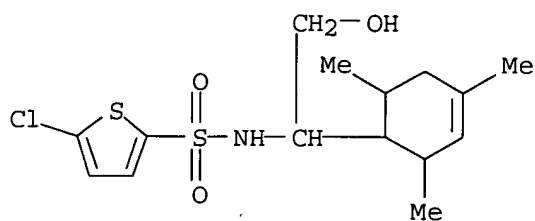
RN 443988-91-8 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(hydroxymethyl)-2-methylpentyl] - (9CI) (CA INDEX NAME)



RN 443988-92-9 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-chloro-N-[2-ethyl-1-(hydroxymethyl)hexyl] - (9CI) (CA INDEX NAME)

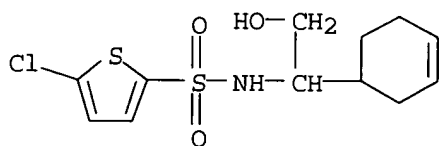


RN 443988-93-0 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-chloro-N-[2-hydroxy-1-(2,4,6-trimethyl-3-cyclohexen-1-yl)ethyl] - (9CI) (CA INDEX NAME)



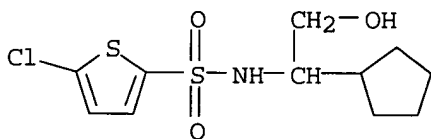
RN 443988-94-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(3-cyclohexen-1-yl)-2-hydroxyethyl]- (9CI) (CA INDEX NAME)



RN 443988-95-2 HCAPLUS

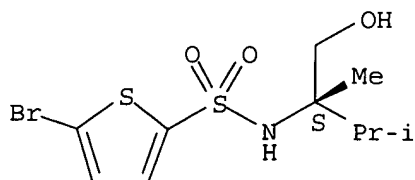
CN 2-Thiophenesulfonamide, 5-chloro-N-(1-cyclopentyl-2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 443988-96-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-1-(hydroxymethyl)-1,2-dimethylpropyl]- (9CI) (CA INDEX NAME)

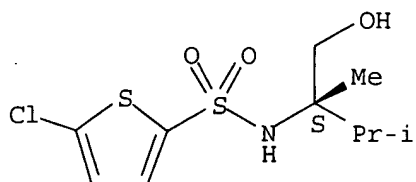
Absolute stereochemistry.



RN 443988-97-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-1,2-dimethylpropyl]- (9CI) (CA INDEX NAME)

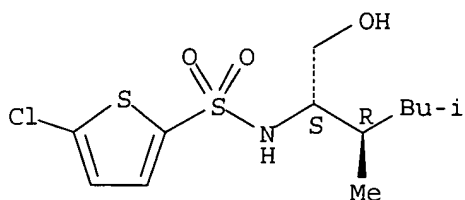
Absolute stereochemistry.



RN 443988-98-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2,4-dimethylpropyl]- (9CI) (CA INDEX NAME)

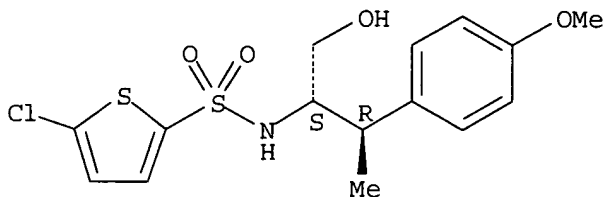
Absolute stereochemistry.



RN 443988-99-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-(4-methoxyphenyl)propyl]- (9CI) (CA INDEX NAME)

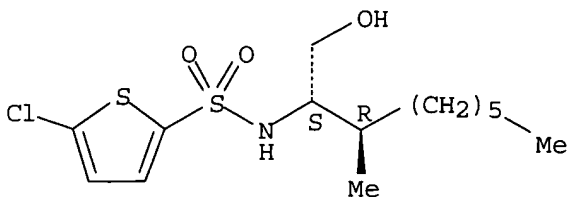
Absolute stereochemistry.



RN 443989-00-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-methyloctyl]- (9CI) (CA INDEX NAME)

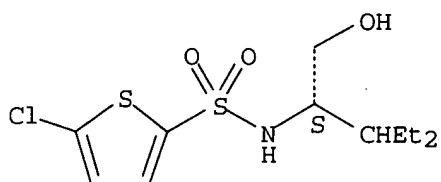
Absolute stereochemistry.



RN 443989-01-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-ethyl-1-(hydroxymethyl)butyl]- (9CI) (CA INDEX NAME)

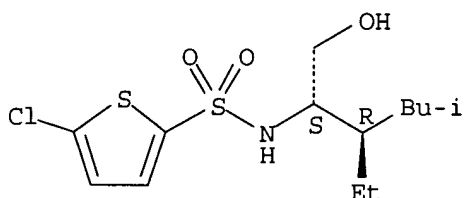
Absolute stereochemistry. Rotation (+).



RN 443989-02-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-2-ethyl-1-(hydroxymethyl)-4-methylpentyl]- (9CI) (CA INDEX NAME)

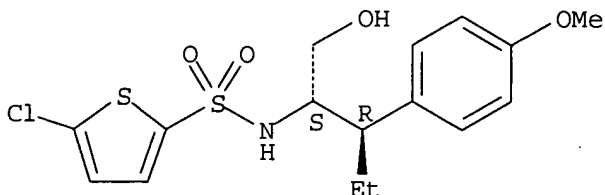
Absolute stereochemistry.



RN 443989-03-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-(4-methoxyphenyl)butyl]- (9CI) (CA INDEX NAME)

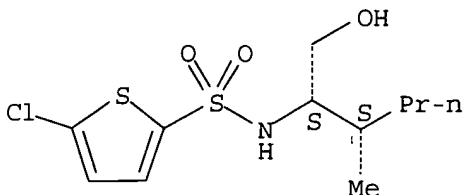
Absolute stereochemistry.



RN 443989-04-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(hydroxymethyl)-2-methylpentyl]- (9CI) (CA INDEX NAME)

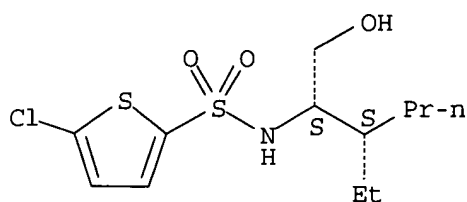
Absolute stereochemistry.



RN 443989-05-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-2-ethyl-1-(hydroxymethyl)pentyl]- (9CI) (CA INDEX NAME)

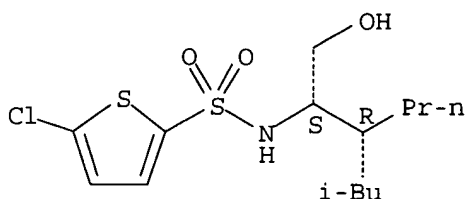
Absolute stereochemistry.



RN 443989-06-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-4-methyl-2-propylpentyl]- (9CI) (CA INDEX NAME)

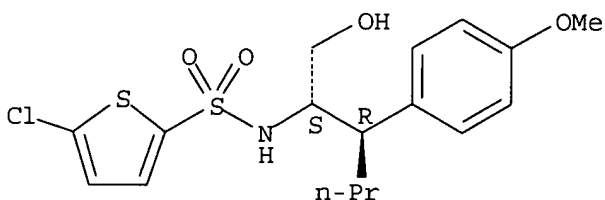
Absolute stereochemistry.



RN 443989-07-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-(4-methoxyphenyl)pentyl]- (9CI) (CA INDEX NAME)

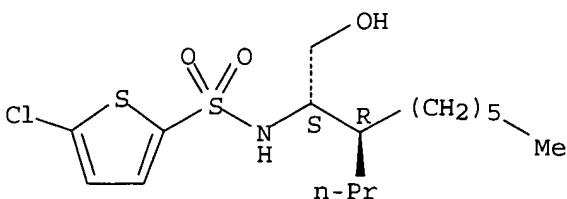
Absolute stereochemistry.



RN 443989-08-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-propyloctyl]- (9CI) (CA INDEX NAME)

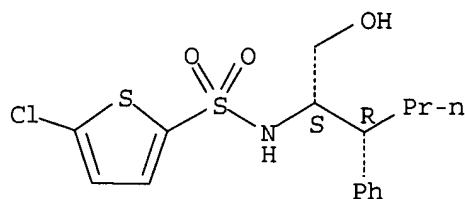
Absolute stereochemistry.



RN 443989-09-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-phenylpentyl]- (9CI) (CA INDEX NAME)

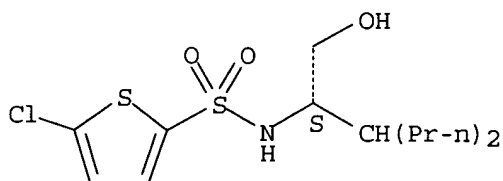
Absolute stereochemistry.



RN 443989-10-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-2-propylpentyl]-
(9CI) (CA INDEX NAME)

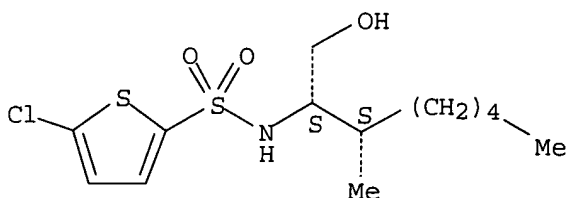
Absolute stereochemistry.



RN 443989-11-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(hydroxymethyl)-2-methylheptyl]- (9CI) (CA INDEX NAME)

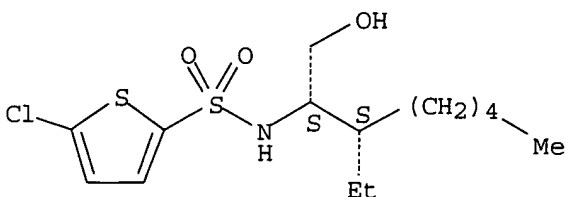
Absolute stereochemistry.



RN 443989-12-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-2-ethyl-1-(hydroxymethyl)heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

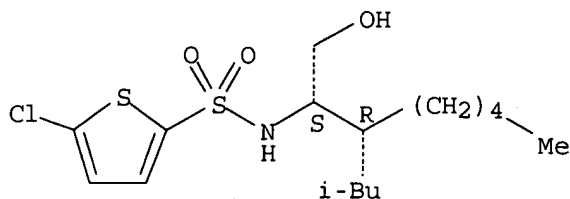


RN 443989-13-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-(2-methylpropyl)heptyl]- (9CI) (CA INDEX NAME)

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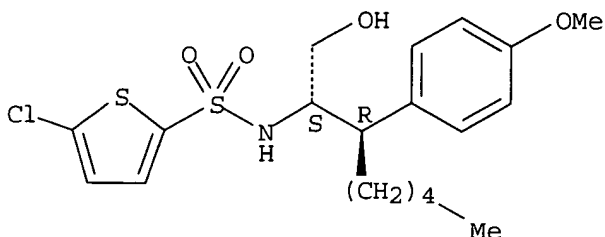
Absolute stereochemistry.



RN 443989-14-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-(4-methoxyphenyl)heptyl]- (9CI) (CA INDEX NAME)

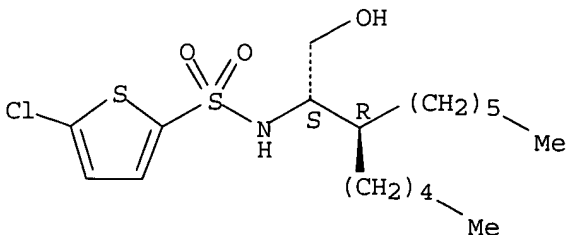
Absolute stereochemistry.



RN 443989-15-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-pentylheptyl]- (9CI) (CA INDEX NAME)

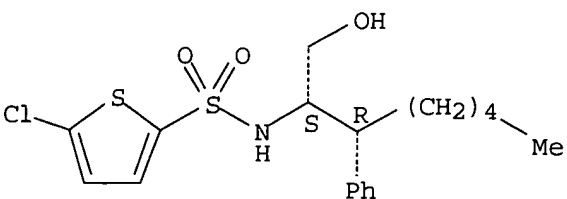
Absolute stereochemistry.



RN 443989-16-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-phenylheptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

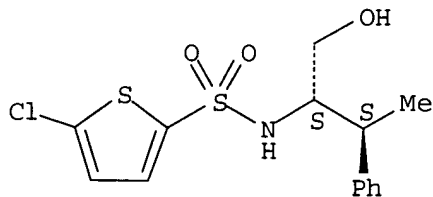


RN 443989-17-1 HCAPLUS

09/14/2006 10810517.trn

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(hydroxymethyl)-2-phenylpropyl]- (9CI) (CA INDEX NAME)

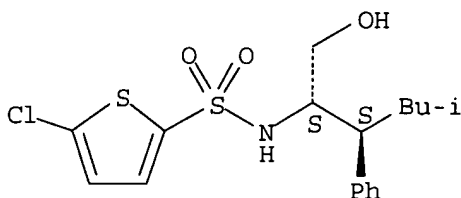
Absolute stereochemistry.



RN 443989-18-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(hydroxymethyl)-4-methyl-2-phenylpentyl]- (9CI) (CA INDEX NAME)

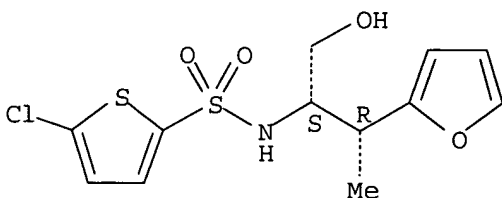
Absolute stereochemistry.



RN 443989-19-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-2-(2-furanyl)-1-(hydroxymethyl)propyl]- (9CI) (CA INDEX NAME)

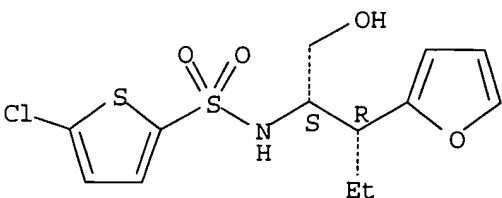
Absolute stereochemistry.



RN 443989-20-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-2-(2-furanyl)-1-(hydroxymethyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

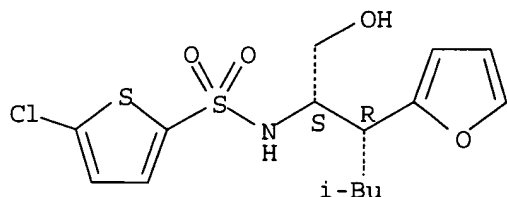


09/14/2006 10810517.trn

RN 443989-21-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-2-(2-furanyl)-1-(hydroxymethyl)-4-methylpentyl]- (9CI) (CA INDEX NAME)

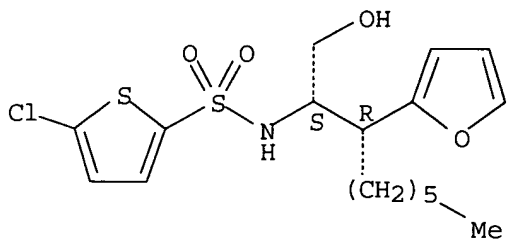
Absolute stereochemistry.



RN 443989-22-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-2-(2-furanyl)-1-(hydroxymethyl)octyl]- (9CI) (CA INDEX NAME)

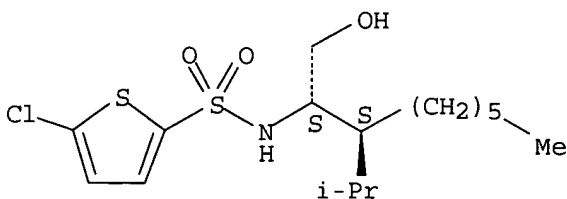
Absolute stereochemistry.



RN 443989-23-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(hydroxymethyl)-2-(1-methylethyl)octyl]- (9CI) (CA INDEX NAME)

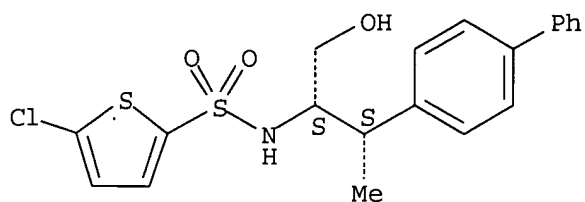
Absolute stereochemistry.



RN 443989-24-0 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S,2S)-2-[1,1'-biphenyl]-4-yl-1-(hydroxymethyl)propyl]-5-chloro- (9CI) (CA INDEX NAME)

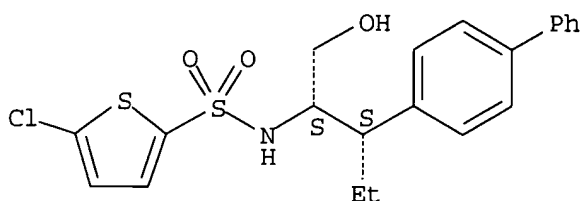
Absolute stereochemistry.



RN 443989-25-1 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S,2S)-2-[1,1'-biphenyl]-4-yl-1-(hydroxymethyl)butyl]-5-chloro- (9CI) (CA INDEX NAME)

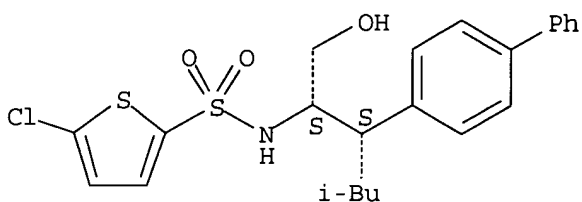
Absolute stereochemistry.



RN 443989-26-2 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S,2S)-2-[1,1'-biphenyl]-4-yl-1-(hydroxymethyl)-4-methylpentyl]-5-chloro- (9CI) (CA INDEX NAME)

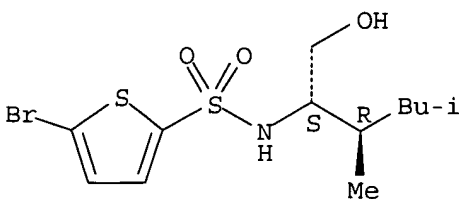
Absolute stereochemistry.



RN 443989-27-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-1-(hydroxymethyl)-2,4-dimethylpentyl]- (9CI) (CA INDEX NAME)

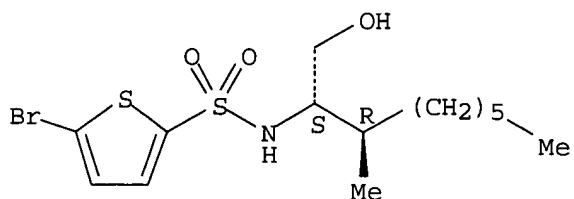
Absolute stereochemistry.



RN 443989-28-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-1-(hydroxymethyl)-2-methyloctyl]- (9CI) (CA INDEX NAME)

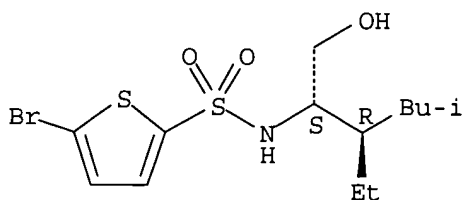
Absolute stereochemistry.



RN 443989-30-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-2-ethyl-1-(hydroxymethyl)-4-methylpentyl]- (9CI) (CA INDEX NAME)

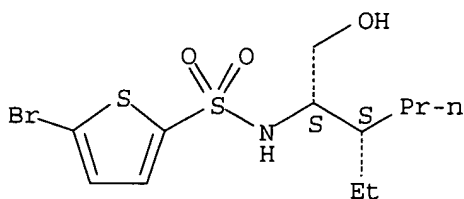
Absolute stereochemistry.



RN 443989-31-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-2-ethyl-1-(hydroxymethyl)pentyl]- (9CI) (CA INDEX NAME)

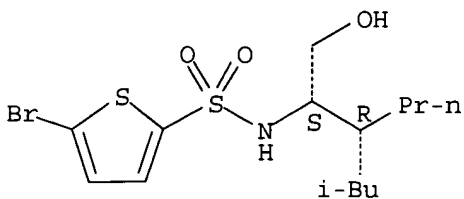
Absolute stereochemistry.



RN 443989-32-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-1-(hydroxymethyl)-4-methyl-2-propylpentyl]- (9CI) (CA INDEX NAME)

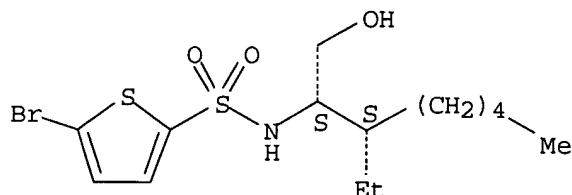
Absolute stereochemistry.



RN 443989-33-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-2-ethyl-1-(hydroxymethyl)heptyl]- (9CI) (CA INDEX NAME)

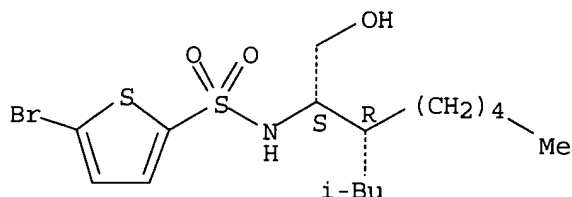
Absolute stereochemistry.



RN 443989-34-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-1-(hydroxymethyl)-2-(2-methylpropyl)heptyl]- (9CI) (CA INDEX NAME)

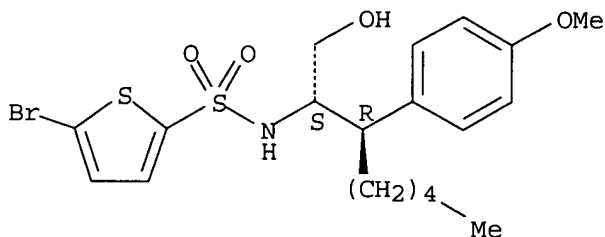
Absolute stereochemistry.



RN 443989-35-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-1-(hydroxymethyl)-2-(4-methoxyphenyl)heptyl]- (9CI) (CA INDEX NAME)

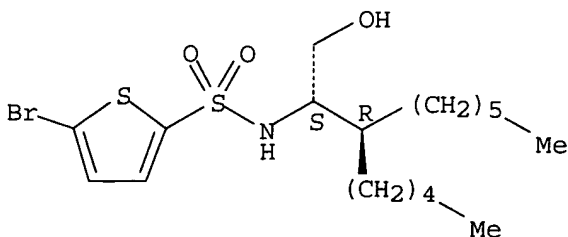
Absolute stereochemistry.



RN 443989-36-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-1-(hydroxymethyl)-2-pentylloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

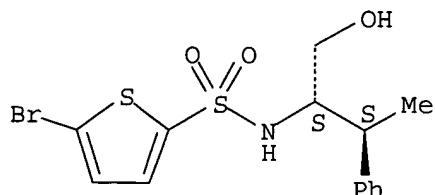


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RN 443989-37-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-1-(hydroxymethyl)-2-phenylpropyl]- (9CI) (CA INDEX NAME)

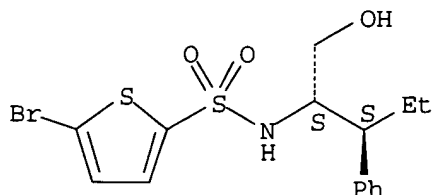
Absolute stereochemistry.



RN 443989-38-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-1-(hydroxymethyl)-2-phenylbutyl]- (9CI) (CA INDEX NAME)

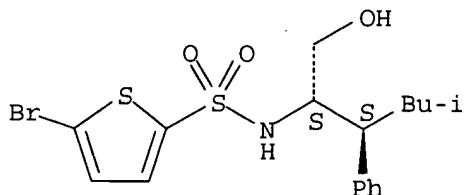
Absolute stereochemistry.



RN 443989-39-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-1-(hydroxymethyl)-4-methyl-2-phenylpentyl]- (9CI) (CA INDEX NAME)

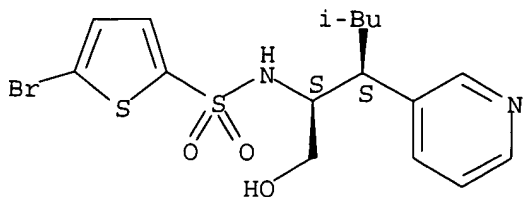
Absolute stereochemistry.



RN 443989-40-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-1-(hydroxymethyl)-4-methyl-2-(3-pyridinyl)pentyl]- (9CI) (CA INDEX NAME)

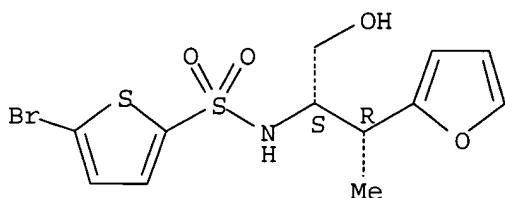
Absolute stereochemistry.



RN 443989-41-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-2-(2-furanyl)-1-(hydroxymethyl)propyl]- (9CI) (CA INDEX NAME)

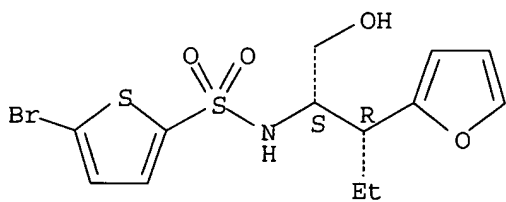
Absolute stereochemistry.



RN 443989-42-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-2-(2-furanyl)-1-(hydroxymethyl)butyl]- (9CI) (CA INDEX NAME)

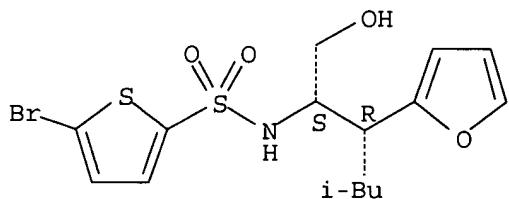
Absolute stereochemistry.



RN 443989-43-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-2-(2-furanyl)-1-(hydroxymethyl)-4-methylpentyl]- (9CI) (CA INDEX NAME)

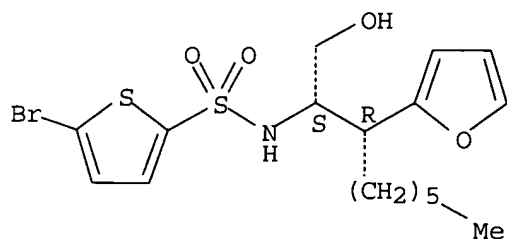
Absolute stereochemistry.



RN 443989-44-4 HCAPLUS

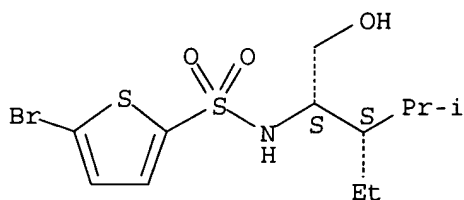
CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-2-(2-furanyl)-1-(hydroxymethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



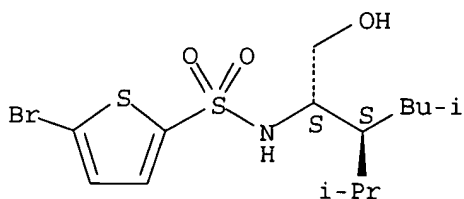
RN 443989-45-5 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-2-ethyl-1-(hydroxymethyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



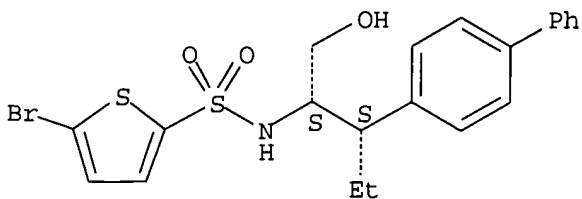
RN 443989-46-6 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-1-(hydroxymethyl)-4-methyl-2-(1-methylethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



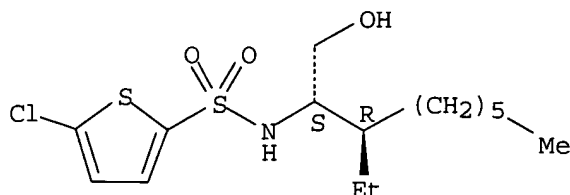
RN 443989-47-7 HCAPLUS
 CN 2-Thiophenesulfonamide, N-[(1S,2S)-2-[1,1'-biphenyl]-4-yl-1-(hydroxymethyl)butyl]-5-bromo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443989-48-8 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-2-ethyl-1-(hydroxymethyl)octyl]- (9CI) (CA INDEX NAME)

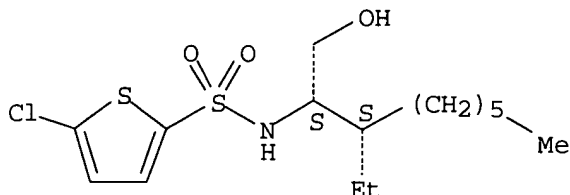
Absolute stereochemistry.



RN 443989-49-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-2-ethyl-1-(hydroxymethyl)octyl]- (9CI) (CA INDEX NAME)

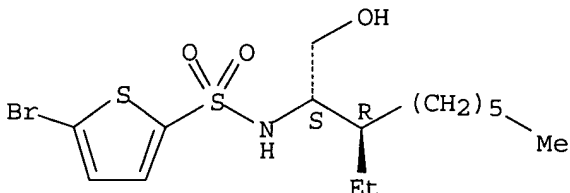
Absolute stereochemistry.



RN 443989-50-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-2-ethyl-1-(hydroxymethyl)octyl]- (9CI) (CA INDEX NAME)

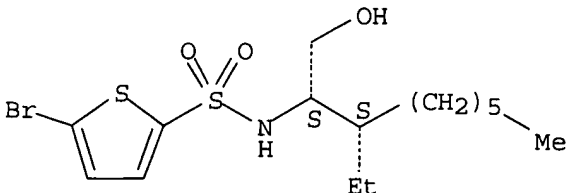
Absolute stereochemistry.



RN 443989-51-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-2-ethyl-1-(hydroxymethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

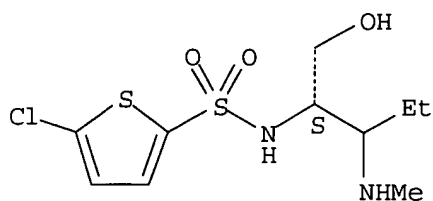


RN 443989-52-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-2-

(methylamino)butyl]- (9CI) (CA INDEX NAME)

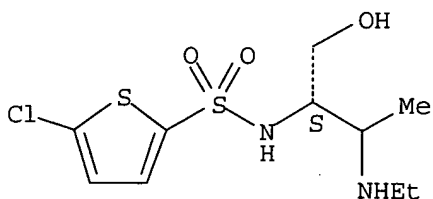
Absolute stereochemistry.



RN 443989-53-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-(ethylamino)-1-(hydroxymethyl)propyl]- (9CI) (CA INDEX NAME)

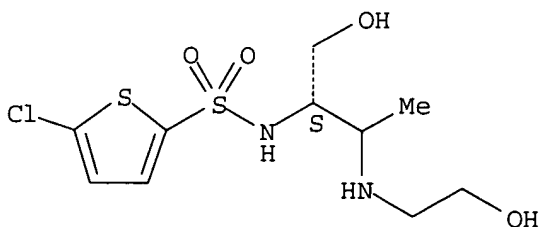
Absolute stereochemistry.



RN 443989-54-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-[(2-hydroxyethyl)amino]-1-(hydroxymethyl)propyl]- (9CI) (CA INDEX NAME)

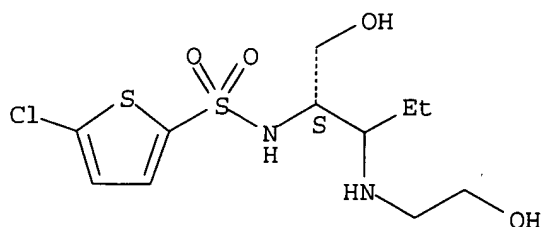
Absolute stereochemistry.



RN 443989-55-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-[(2-hydroxyethyl)amino]-1-(hydroxymethyl)butyl]- (9CI) (CA INDEX NAME)

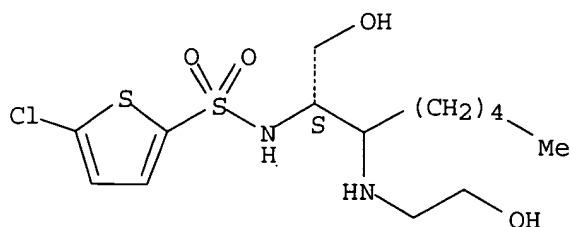
Absolute stereochemistry.



RN 443989-56-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-[(2-hydroxyethyl)amino]-1-(hydroxymethyl)heptyl]- (9CI) (CA INDEX NAME)

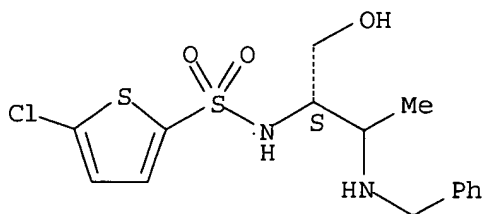
Absolute stereochemistry.



RN 443989-57-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-2-[(phenylmethyl)amino]propyl]- (9CI) (CA INDEX NAME)

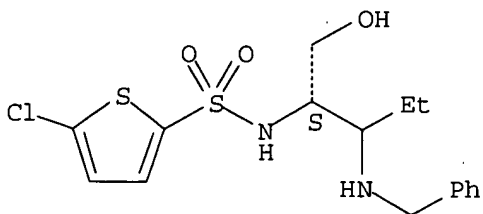
Absolute stereochemistry.



RN 443989-58-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-2-[(phenylmethyl)amino]butyl]- (9CI) (CA INDEX NAME)

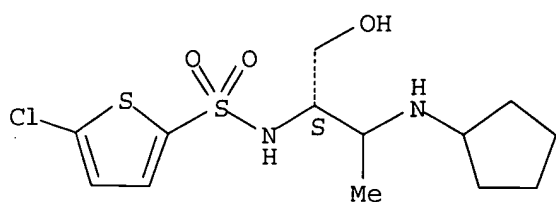
Absolute stereochemistry.



RN 443989-59-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-(cyclopentylamino)-1-(hydroxymethyl)propyl]- (9CI) (CA INDEX NAME)

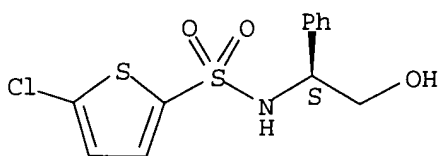
Absolute stereochemistry.



RN 443989-62-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI)
(CA INDEX NAME)

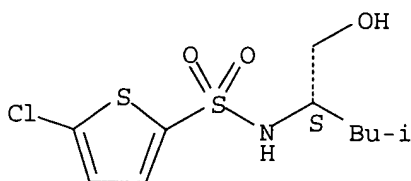
Absolute stereochemistry.



RN 443989-63-7 HCAPLUS

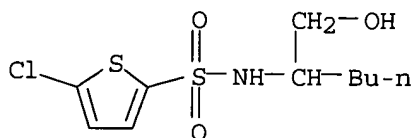
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-3-methylbutyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



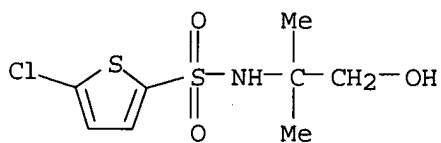
RN 443989-64-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(hydroxymethyl)pentyl]- (9CI) (CA
INDEX NAME)

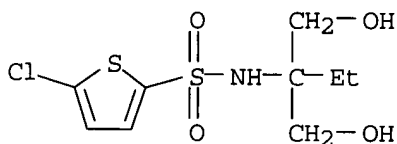


RN 443989-65-9 HCAPLUS

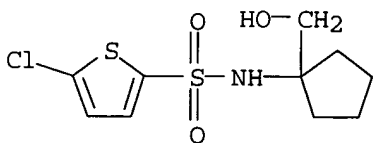
CN 2-Thiophenesulfonamide, 5-chloro-N-(2-hydroxy-1,1-dimethylethyl)- (9CI)
(CA INDEX NAME)



RN 443989-66-0 HCAPLUS

CN 2-Thiophenesulfonamide, N-[1,1-bis(hydroxymethyl)propyl]-5-chloro- (9CI)
(CA INDEX NAME)

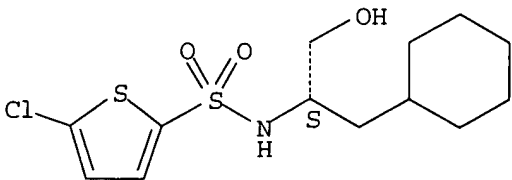
RN 443989-67-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(hydroxymethyl)cyclopentyl]- (9CI)
(CA INDEX NAME)

RN 443989-68-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-cyclohexyl-1-(hydroxymethyl)ethyl]- (9CI) (CA INDEX NAME)

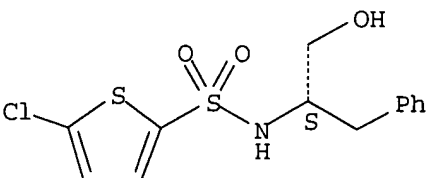
Absolute stereochemistry.



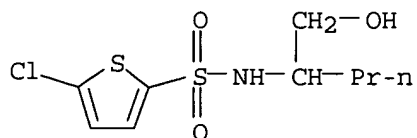
RN 443989-69-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

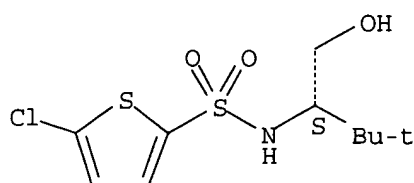


RN 443989-70-6 HCAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(hydroxymethyl)butyl]- (9CI) (CA INDEX NAME)



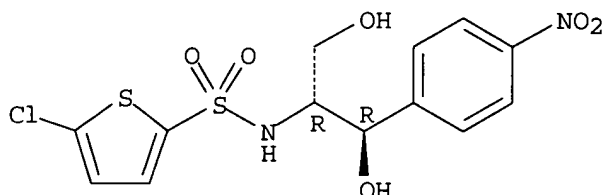
RN 443989-71-7 HCAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



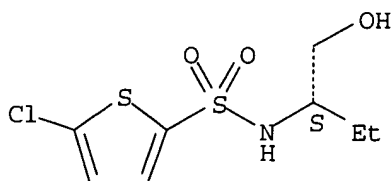
RN 443989-72-8 HCAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1R,2R)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



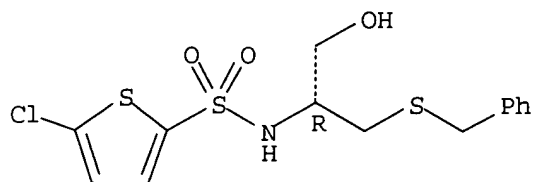
RN 443989-73-9 HCAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



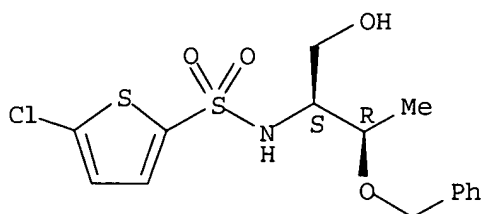
RN 443989-74-0 HCAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1R)-1-(hydroxymethyl)-2-[(phenylmethyl)thio]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



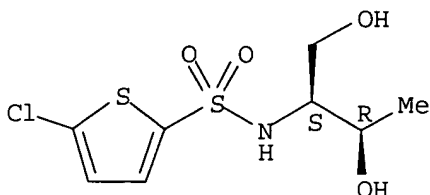
RN 443989-75-1 HCAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-1-(hydroxymethyl)-2-(phenylmethoxy)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



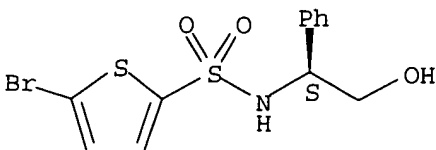
RN 443989-76-2 HCAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-2-hydroxy-1-(hydroxymethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



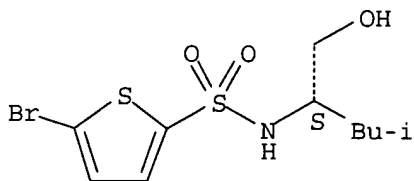
RN 443989-77-3 HCAPLUS
CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

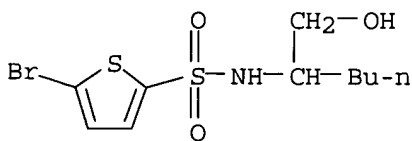


RN 443989-78-4 HCAPLUS
CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-1-(hydroxymethyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

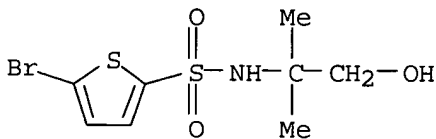
Absolute stereochemistry.



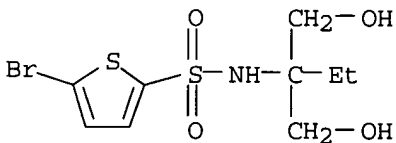
RN 443989-79-5 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-bromo-N-[1-(hydroxymethyl)pentyl]- (9CI) (CA INDEX NAME)



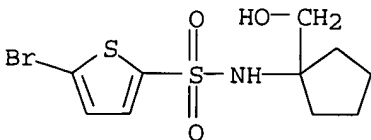
RN 443989-80-8 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-bromo-N-(2-hydroxy-1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 443989-81-9 HCAPLUS
 CN 2-Thiophenesulfonamide, N-[1,1-bis(hydroxymethyl)propyl]-5-bromo- (9CI) (CA INDEX NAME)



RN 443989-82-0 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-bromo-N-[1-(hydroxymethyl)cyclopentyl]- (9CI) (CA INDEX NAME)

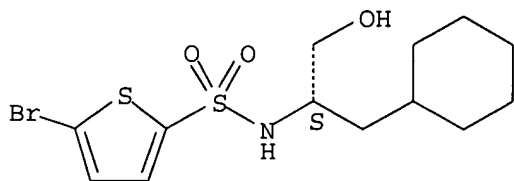


RN 443989-83-1 HCAPLUS

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CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-2-cyclohexyl-1-(hydroxymethyl)ethyl]- (9CI) (CA INDEX NAME)

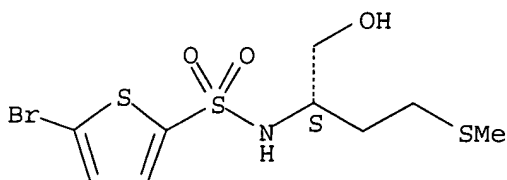
Absolute stereochemistry.



RN 443989-84-2 HCAPLUS

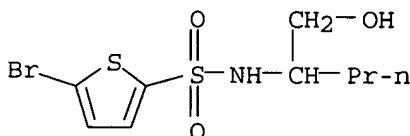
CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-1-(hydroxymethyl)-3-(methylthio)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443989-85-3 HCAPLUS

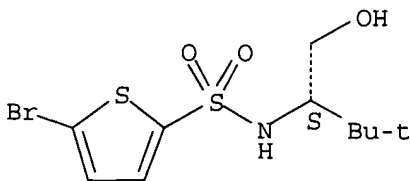
CN 2-Thiophenesulfonamide, 5-bromo-N-[1-(hydroxymethyl)butyl]- (9CI) (CA INDEX NAME)



RN 443989-86-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-1-(hydroxymethyl)-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)

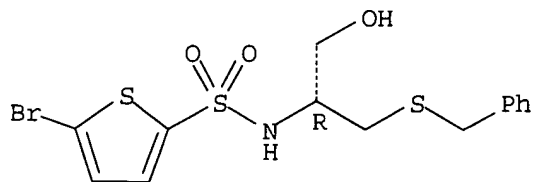
Absolute stereochemistry.



RN 443989-87-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1R)-1-(hydroxymethyl)-2-[(phenylmethyl)thio]ethyl]- (9CI) (CA INDEX NAME)

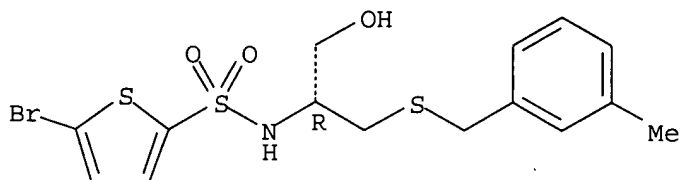
Absolute stereochemistry.



RN 443989-88-6 HCAPLUS

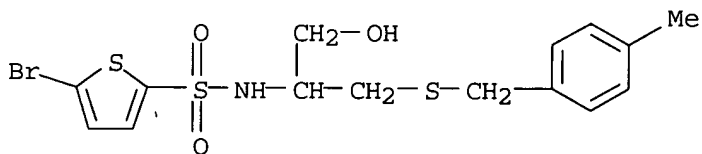
CN 2-Thiophenesulfonamide, 5-bromo-N-[(1R)-1-(hydroxymethyl)-2-[[3-methylphenyl)methyl]thio]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443989-89-7 HCAPLUS

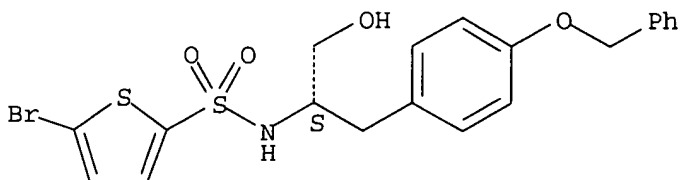
CN 2-Thiophenesulfonamide, 5-bromo-N-[1-(hydroxymethyl)-2-[[4-methylphenyl)methyl]thio]ethyl]- (9CI) (CA INDEX NAME)



RN 443989-90-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-1-(hydroxymethyl)-2-[4-(phenylmethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)

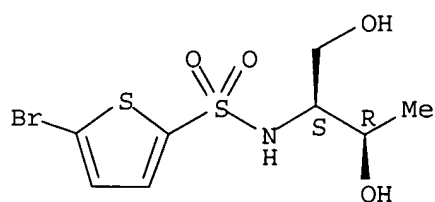
Absolute stereochemistry.



RN 443989-91-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-2-hydroxy-1-(hydroxymethyl)propyl]- (9CI) (CA INDEX NAME)

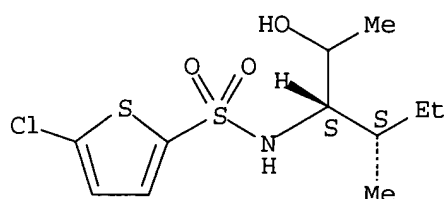
Absolute stereochemistry.



RN 443989-93-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(1-hydroxyethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

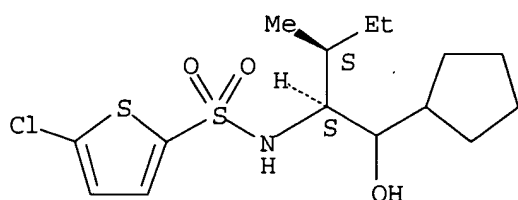
Absolute stereochemistry.



RN 443989-94-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(cyclopentylhydroxymethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

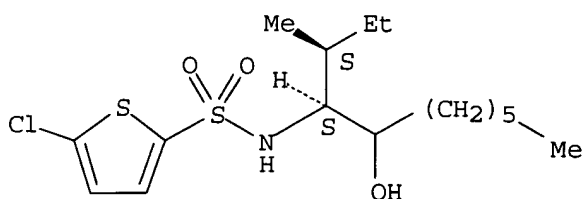
Absolute stereochemistry.



RN 443989-95-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]octyl]- (9CI) (CA INDEX NAME)

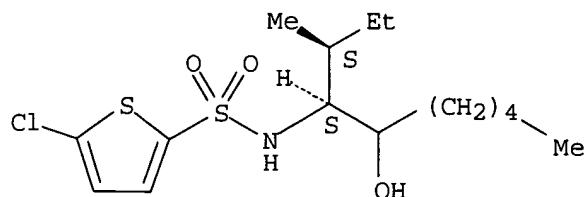
Absolute stereochemistry.



RN 443989-96-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]heptyl]- (9CI) (CA INDEX NAME)

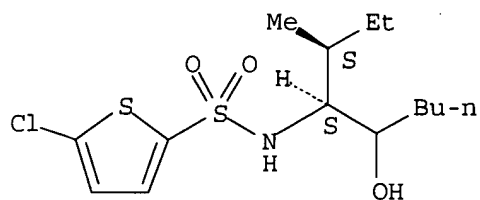
Absolute stereochemistry.



RN 443989-97-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]hexyl]- (9CI) (CA INDEX NAME)

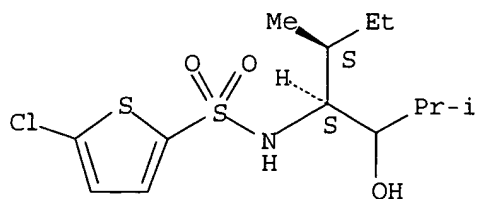
Absolute stereochemistry.



RN 443989-98-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-3-methyl-1-[(1S)-1-methylpropyl]butyl]- (9CI) (CA INDEX NAME)

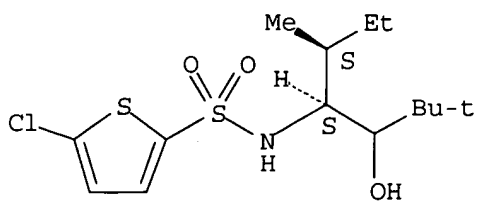
Absolute stereochemistry.



RN 443989-99-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-3,3-dimethyl-1-[(1S)-1-methylpropyl]butyl]- (9CI) (CA INDEX NAME)

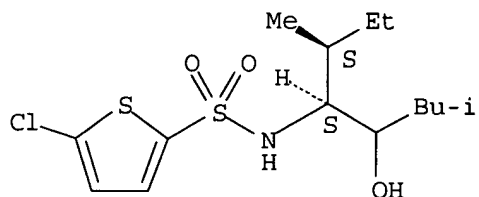
Absolute stereochemistry.



RN 443990-00-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-4-methyl-1-[(1S)-1-methylpropyl]pentyl]- (9CI) (CA INDEX NAME)

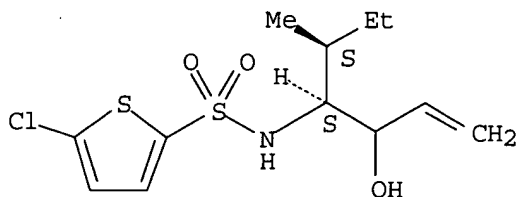
Absolute stereochemistry.



RN 443990-01-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]-3-butenyl]- (9CI) (CA INDEX NAME)

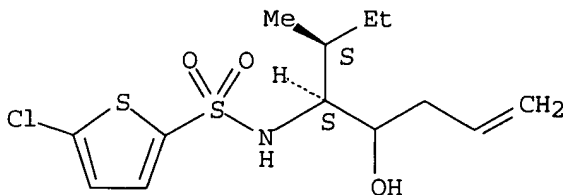
Absolute stereochemistry.



RN 443990-02-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]-4-pentenyl]- (9CI) (CA INDEX NAME)

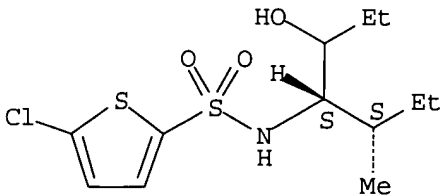
Absolute stereochemistry.



RN 443990-03-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

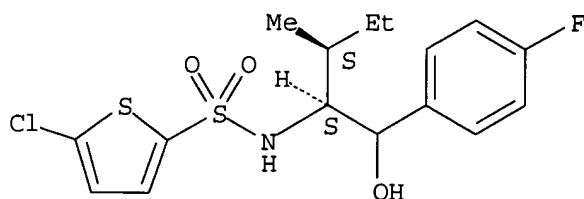


RN 443990-04-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[(4-

fluorophenyl)hydroxymethyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

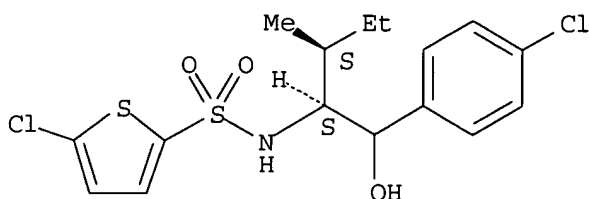
Absolute stereochemistry.



RN 443990-05-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[(4-chlorophenyl)hydroxymethyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

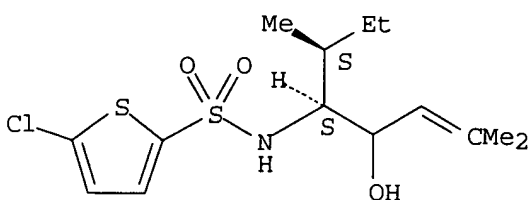
Absolute stereochemistry.



RN 443990-06-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-4-methyl-1-[(1S)-1-methylpropyl]-3-pentenyl]- (9CI) (CA INDEX NAME)

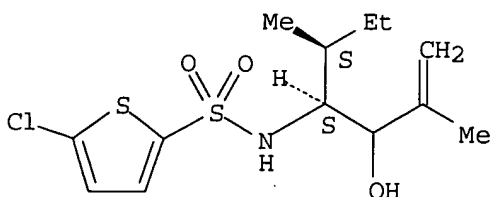
Absolute stereochemistry.



RN 443990-07-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-3-methyl-1-[(1S)-1-methylpropyl]-3-butenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

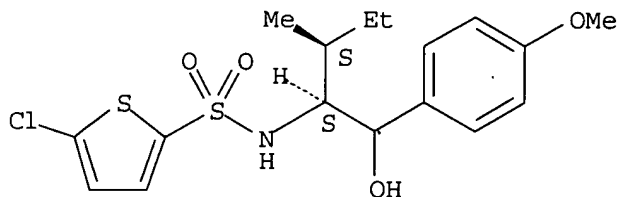


RN 443990-08-7 HCAPLUS

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CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[hydroxy(4-methoxyphenyl)methyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

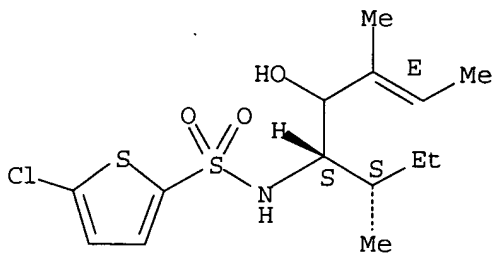


RN 443990-09-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,3E)-2-hydroxy-3-methyl-1-[(1S)-1-methylpropyl]-3-pentenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

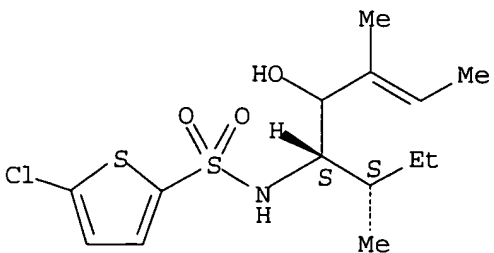


RN 443990-10-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-3-methyl-1-[(1S)-1-methylpropyl]-3-pentenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

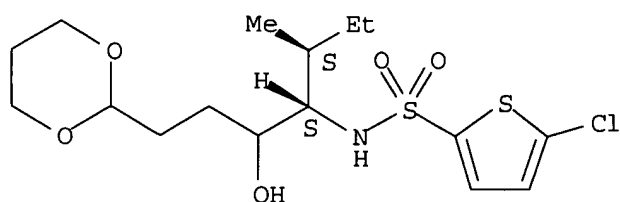
Double bond geometry unknown.



RN 443990-11-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-4-(1,3-dioxan-2-yl)-2-hydroxy-1-[(1S)-1-methylpropyl]butyl]- (9CI) (CA INDEX NAME)

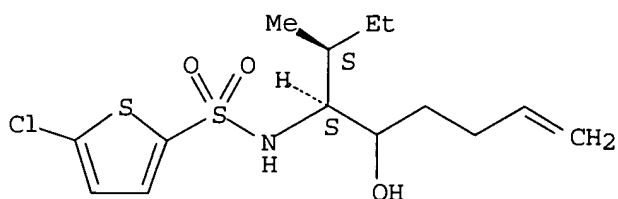
Absolute stereochemistry.



RN 443990-12-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]-5-hexenyl]- (9CI) (CA INDEX NAME)

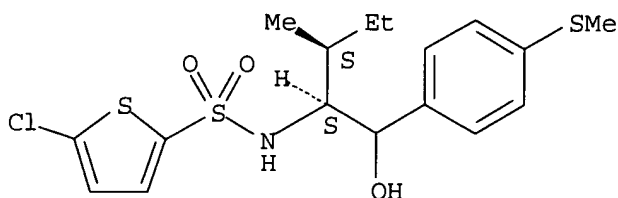
Absolute stereochemistry.



RN 443990-13-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[hydroxy[4-(methylthio)phenyl]methyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

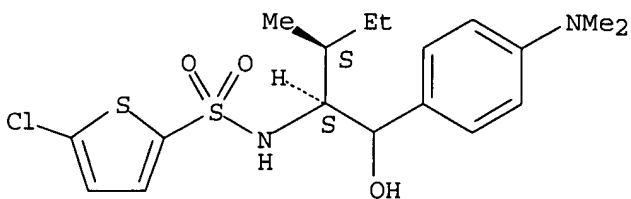
Absolute stereochemistry.



RN 443990-14-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[[4-(dimethylamino)phenyl]hydroxymethyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

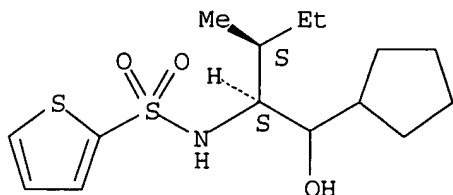
Absolute stereochemistry.



RN 443990-15-6 HCAPLUS

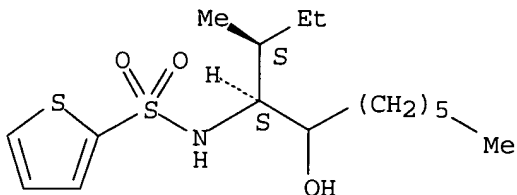
CN 2-Thiophenesulfonamide, N-[(1S,2S)-1-(cyclopentylhydroxymethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



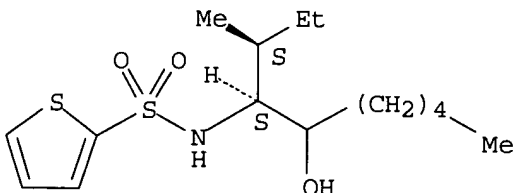
RN 443990-16-7 HCAPLUS
CN 2-Thiophenesulfonamide, N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]octyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



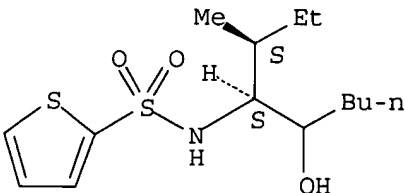
RN 443990-17-8 HCAPLUS
CN 2-Thiophenesulfonamide, N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]heptyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443990-18-9 HCAPLUS
CN 2-Thiophenesulfonamide, N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]hexyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

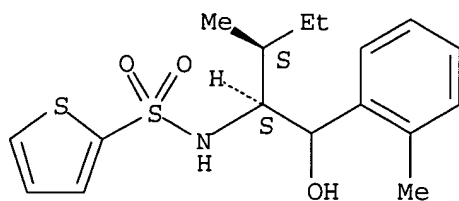


RN 443990-19-0 HCAPLUS
CN 2-Thiophenesulfonamide, N-[(1S,2S)-1-[hydroxy(2-methylphenyl)methyl]-2-

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methylbutyl]- (9CI) (CA INDEX NAME)

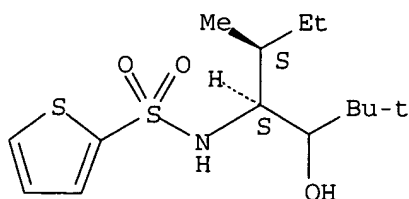
Absolute stereochemistry.



RN 443990-20-3 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-2-hydroxy-3,3-dimethyl-1-[(1S)-1-methylpropyl]butyl]- (9CI) (CA INDEX NAME)

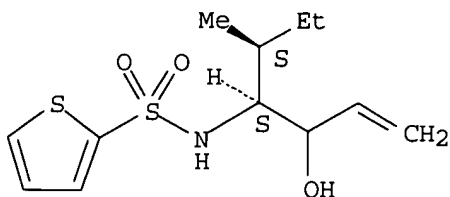
Absolute stereochemistry.



RN 443990-21-4 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]-3-butenyl]- (9CI) (CA INDEX NAME)

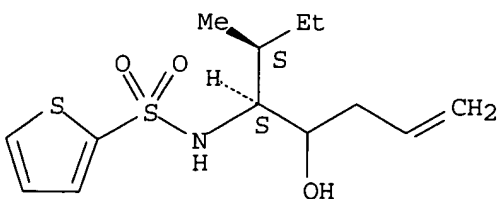
Absolute stereochemistry.



RN 443990-22-5 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]-4-pentenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

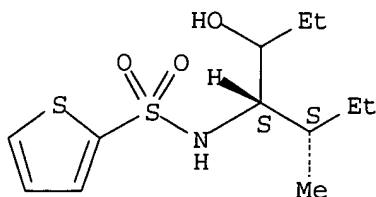


RN 443990-23-6 HCAPLUS

09/14/2006 10810517.trn

CN 2-Thiophenesulfonamide, N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]butyl]-
(9CI) (CA INDEX NAME)

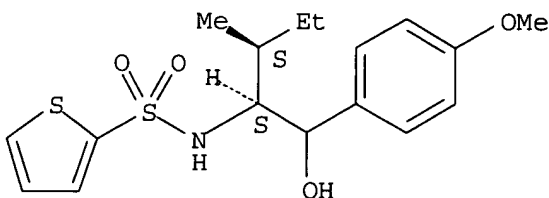
Absolute stereochemistry.



RN 443990-24-7 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S,2S)-1-[hydroxy(4-methoxyphenyl)methyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

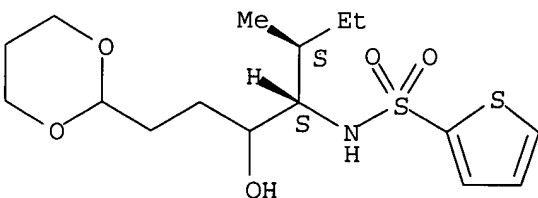
Absolute stereochemistry.



RN 443990-25-8 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-4-(1,3-dioxan-2-yl)-2-hydroxy-1-[(1S)-1-methylpropyl]butyl]- (9CI) (CA INDEX NAME)

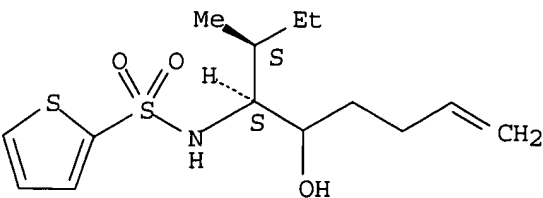
Absolute stereochemistry.



RN 443990-26-9 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]-5-hexenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

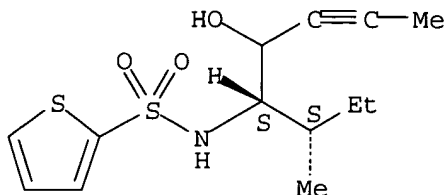


09/14/2006 10810517.trn

RN 443990-27-0 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]-3-pentynyl]- (9CI) (CA INDEX NAME)

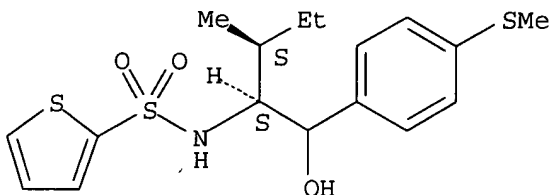
Absolute stereochemistry.



RN 443990-28-1 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S,2S)-1-[hydroxy[4-(methylthio)phenyl]methyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

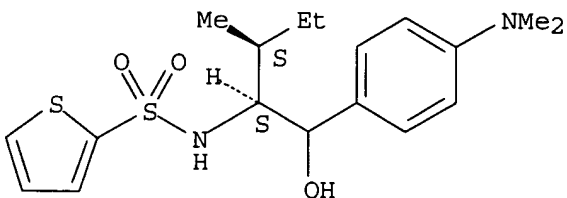
Absolute stereochemistry.



RN 443990-29-2 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S,2S)-1-[[4-(dimethylamino)phenyl]hydroxymethyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

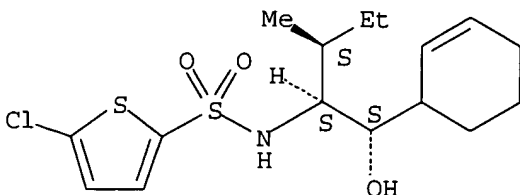
Absolute stereochemistry.



RN 443990-30-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[(S)-2-cyclohexen-1-ylhydroxymethyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

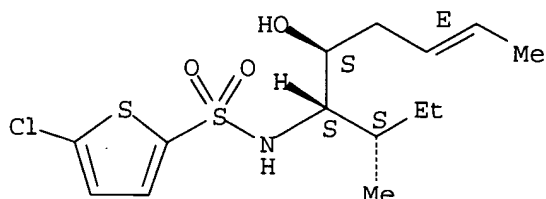
Absolute stereochemistry.



RN 443990-31-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S,4E)-2-hydroxy-1-[(1S)-1-methylpropyl]-4-hexenyl]- (9CI) (CA INDEX NAME)

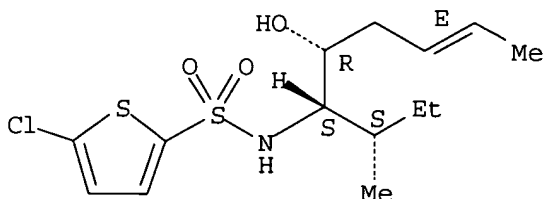
Absolute stereochemistry.
Double bond geometry as shown.



RN 443990-32-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R,4E)-2-hydroxy-1-[(1S)-1-methylpropyl]-4-hexenyl]- (9CI) (CA INDEX NAME)

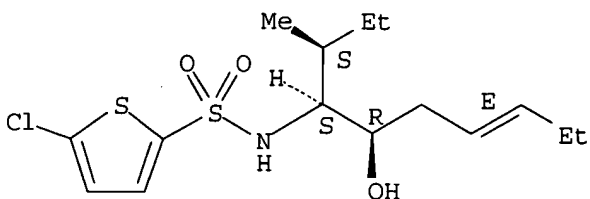
Absolute stereochemistry.
Double bond geometry as shown.



RN 443990-33-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R,4E)-2-hydroxy-1-[(1S)-1-methylpropyl]-4-heptenyl]- (9CI) (CA INDEX NAME)

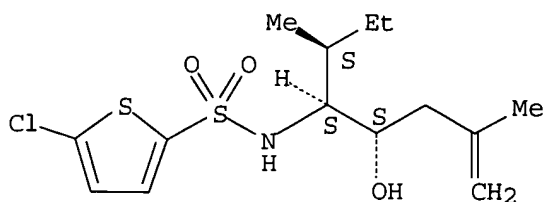
Absolute stereochemistry.
Double bond geometry as shown.



RN 443990-34-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-2-hydroxy-4-methyl-1-[(1S)-1-methylpropyl]-4-pentenyl]- (9CI) (CA INDEX NAME)

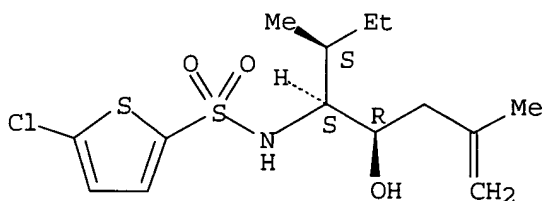
Absolute stereochemistry.



RN 443990-35-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-2-hydroxy-4-methyl-1-[(1S)-1-methylpropyl]-4-pentenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

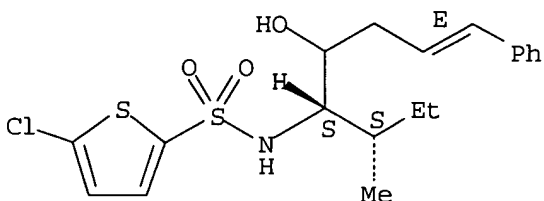


RN 443990-36-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,4E)-2-hydroxy-1-[(1S)-1-methylpropyl]-5-phenyl-4-pentenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

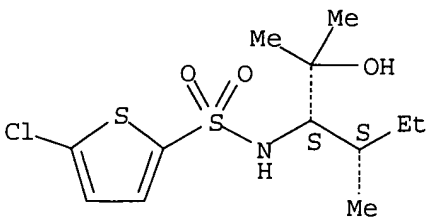
Double bond geometry as shown.



RN 443990-37-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(1-hydroxy-1-methylethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



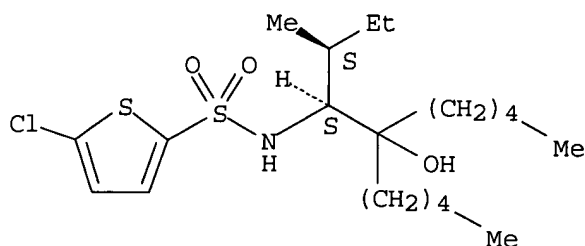
RN 443990-38-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

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2-pentylheptyl]- (9CI) (CA INDEX NAME)

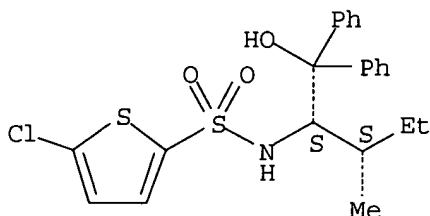
Absolute stereochemistry.



RN 443990-39-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(hydroxydiphenylmethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

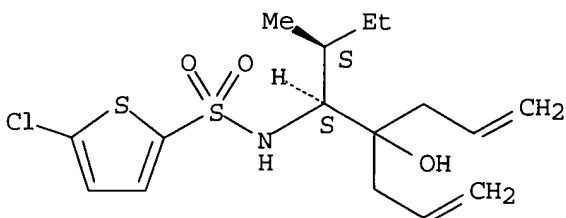
Absolute stereochemistry.



RN 443990-40-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[(1S)-1-methylpropyl]-2-(2-propenyl)-4-pentenyl]- (9CI) (CA INDEX NAME)

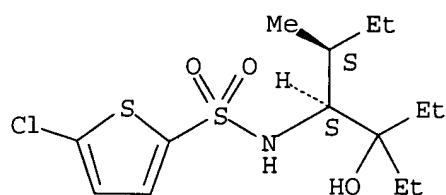
Absolute stereochemistry.



RN 443990-41-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-ethyl-2-hydroxy-1-[(1S)-1-methylpropyl]butyl]- (9CI) (CA INDEX NAME)

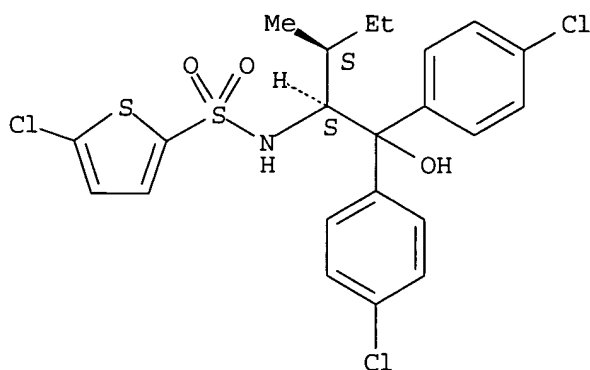
Absolute stereochemistry.



RN 443990-42-9 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S,2S)-1-[bis(4-chlorophenyl)hydroxymethyl]-2-methylbutyl]-5-chloro- (9CI) (CA INDEX NAME)

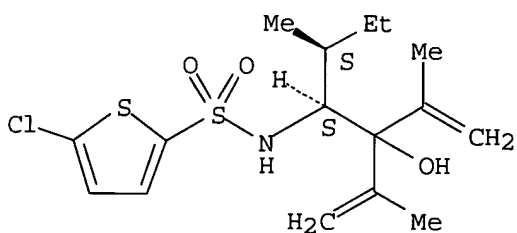
Absolute stereochemistry.



RN 443990-43-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-3-methyl-2-(1-methylethenyl)-1-[(1S)-1-methylpropyl]-3-butenyl]- (9CI) (CA INDEX NAME)

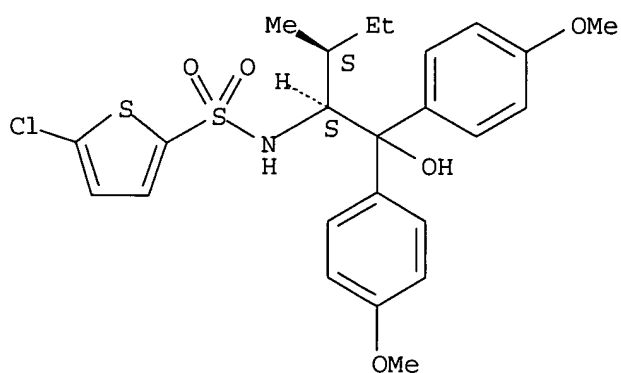
Absolute stereochemistry.



RN 443990-44-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[hydroxybis(4-methoxyphenyl)methyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

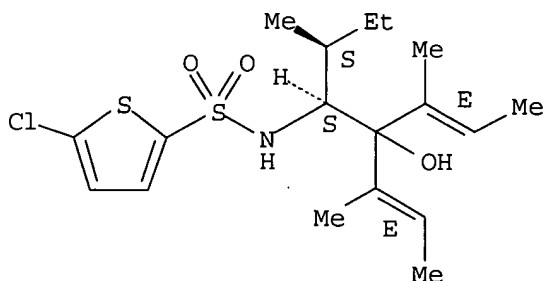
Absolute stereochemistry.



RN 443990-45-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,3E)-2-hydroxy-3-methyl-2-[(1E)-1-methyl-1-propenyl]-1-[(1S)-1-methylpropyl]-3-pentenyl]- (9CI) (CA INDEX NAME)

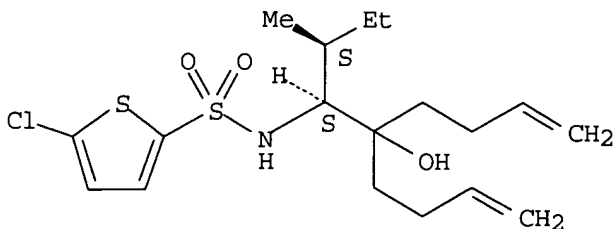
Absolute stereochemistry.
Double bond geometry as shown.



RN 443990-46-3 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-2-(3-butenyl)-2-hydroxy-1-[(1S)-1-methylpropyl]-5-hexenyl]-5-chloro- (9CI) (CA INDEX NAME)

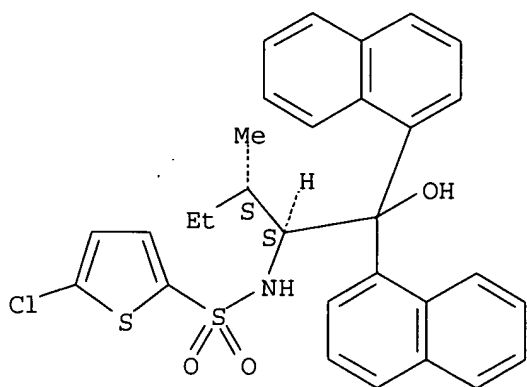
Absolute stereochemistry.



RN 443990-47-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-(hydroxydi-1-naphthalenylmethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

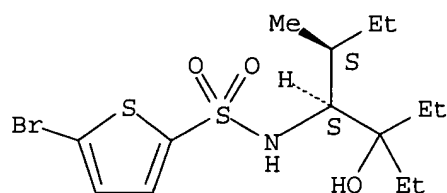
Absolute stereochemistry.



RN 443990-48-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-2-ethyl-2-hydroxy-1-[(1S)-1-methylpropyl]butyl]- (9CI) (CA INDEX NAME)

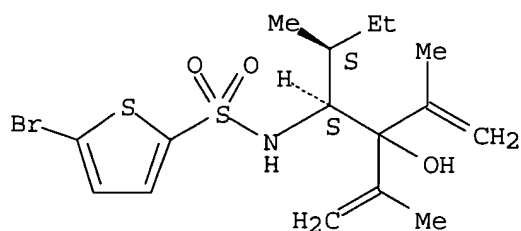
Absolute stereochemistry.



RN 443990-49-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-2-hydroxy-3-methyl-2-(1-methylethenyl)-1-[(1S)-1-methylpropyl]-3-butenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

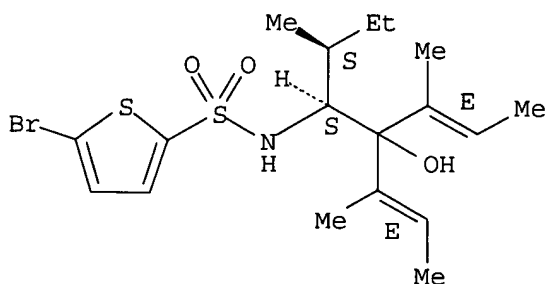


RN 443990-50-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,3E)-2-hydroxy-3-methyl-2-[(1E)-1-methyl-1-propenyl]-1-[(1S)-1-methylpropyl]-3-pentenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

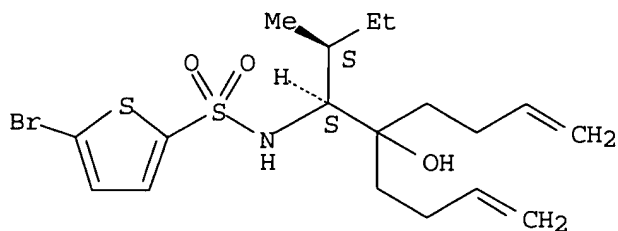
Double bond geometry as shown.



RN 443990-51-0 HCAPLUS

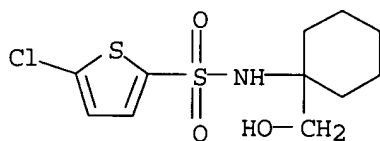
CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S)-2-(3-butenyl)-2-hydroxy-1-[(1S)-1-methylpropyl]-5-hexenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



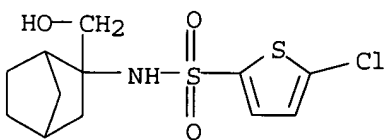
RN 443990-52-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(hydroxymethyl)cyclohexyl]- (9CI) (CA INDEX NAME)



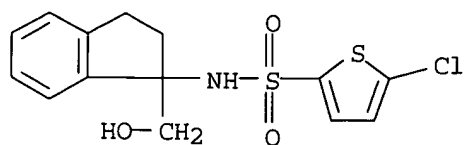
RN 443990-53-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[2-(hydroxymethyl)bicyclo[2.2.1]hept-2-yl]- (9CI) (CA INDEX NAME)

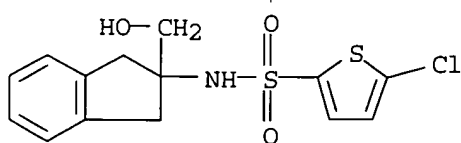


RN 443990-54-3 HCAPLUS

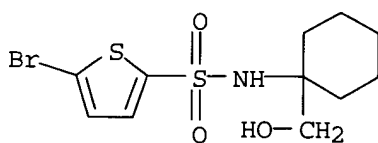
CN 2-Thiophenesulfonamide, 5-chloro-N-[2,3-dihydro-1-(hydroxymethyl)-1H-inden-1-yl]- (9CI) (CA INDEX NAME)



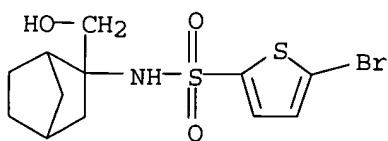
RN 443990-55-4 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-chloro-N-[2,3-dihydro-2-(hydroxymethyl)-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



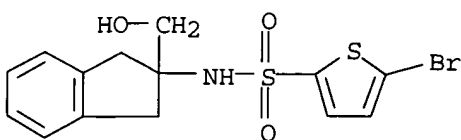
RN 443990-56-5 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-bromo-N-[1-(hydroxymethyl)cyclohexyl]- (9CI) (CA INDEX NAME)



RN 443990-57-6 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-bromo-N-[2-(hydroxymethyl)bicyclo[2.2.1]hept-2-yl]- (9CI) (CA INDEX NAME)

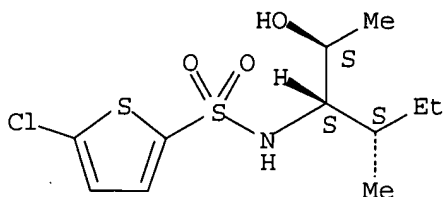


RN 443990-58-7 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-bromo-N-[2,3-dihydro-2-(hydroxymethyl)-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 443990-59-8 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[(1S)-1-hydroxyethyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

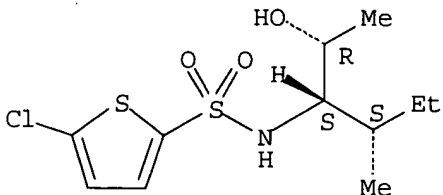
Absolute stereochemistry.



RN 443990-60-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[(1R)-1-hydroxyethyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

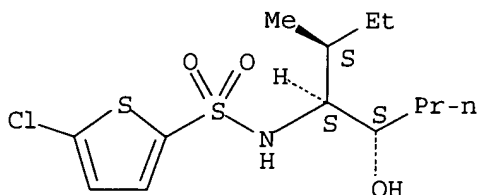
Absolute stereochemistry.



RN 443990-61-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-2-hydroxy-1-[(1S)-1-methylpropyl]pentyl]- (9CI) (CA INDEX NAME)

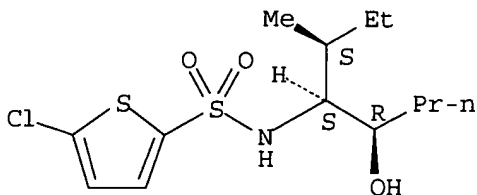
Absolute stereochemistry.



RN 443990-62-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-2-hydroxy-1-[(1S)-1-methylpropyl]pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

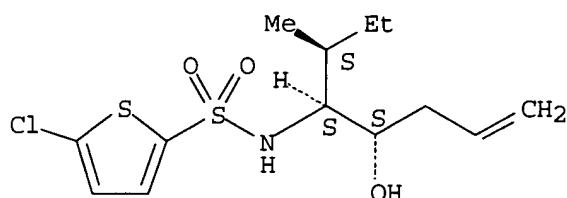


RN 443990-63-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-2-hydroxy-1-[(1S)-1-

methylpropyl]-4-pentenyl]- (9CI) (CA INDEX NAME)

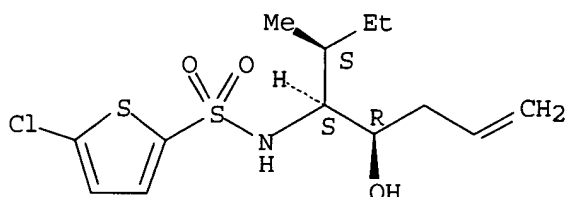
Absolute stereochemistry.



RN 443990-64-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2R)-2-hydroxy-1-[(1S)-1-methylpropyl]-4-pentenyl]- (9CI) (CA INDEX NAME)

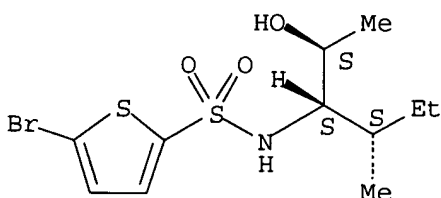
Absolute stereochemistry.



RN 443990-65-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-1-[(1S)-1-hydroxyethyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

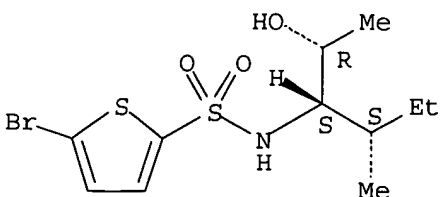
Absolute stereochemistry.



RN 443990-66-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-1-[(1R)-1-hydroxyethyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

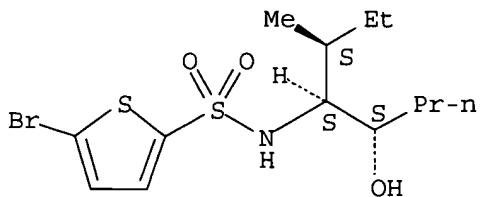


RN 443990-67-8 HCAPLUS

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CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-2-hydroxy-1-[(1S)-1-methylpropyl]pentyl]- (9CI) (CA INDEX NAME)

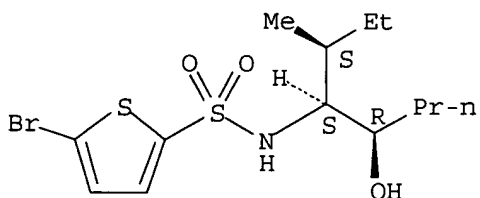
Absolute stereochemistry.



RN 443990-68-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-2-hydroxy-1-[(1S)-1-methylpropyl]pentyl]- (9CI) (CA INDEX NAME)

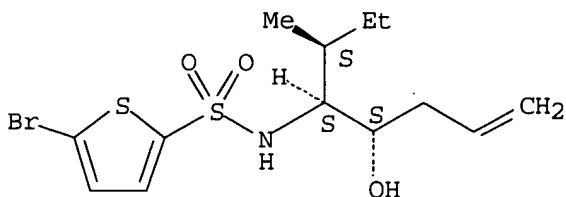
Absolute stereochemistry.



RN 443990-69-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-2-hydroxy-1-[(1S)-1-methylpropyl]-4-pentenyl]- (9CI) (CA INDEX NAME)

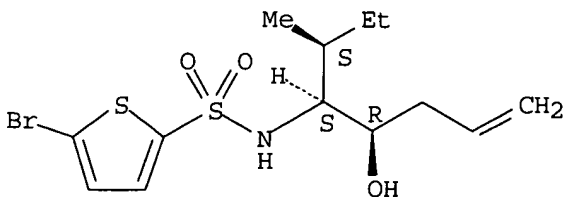
Absolute stereochemistry.



RN 443990-70-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2R)-2-hydroxy-1-[(1S)-1-methylpropyl]-4-pentenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

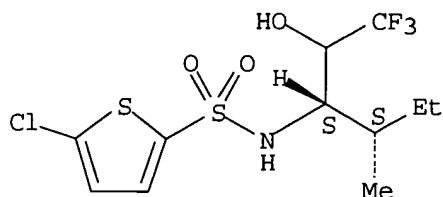


09/14/2006 10810517.trn

RN 443990-71-4 HCAPLUS

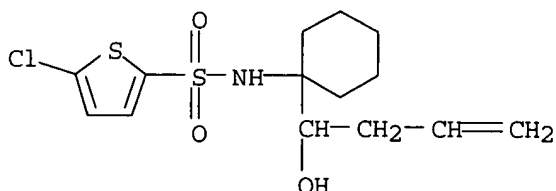
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-2-methyl-1-(2,2,2-trifluoro-1-hydroxyethyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



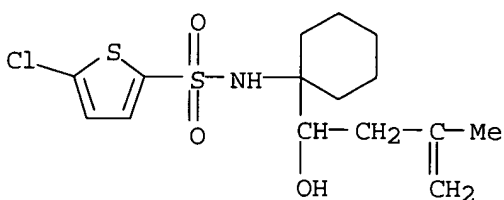
RN 443990-72-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(1-hydroxy-3-butenyl)cyclohexyl]- (9CI) (CA INDEX NAME)



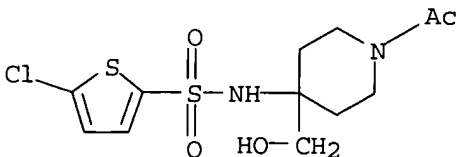
RN 443990-73-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[1-(1-hydroxy-3-methyl-3-butenyl)cyclohexyl]- (9CI) (CA INDEX NAME)



RN 443990-74-7 HCAPLUS

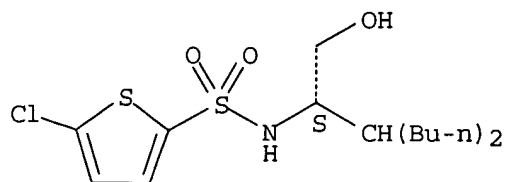
CN 4-Piperidinemethanol, 1-acetyl-4-[[5-chloro-2-thienyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 443990-75-8 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-2-butyl-1-(hydroxymethyl)hexyl]-5-chloro-, (9CI) (CA INDEX NAME)

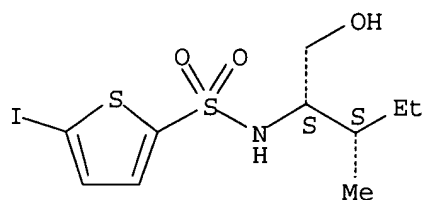
Absolute stereochemistry.



RN 443990-76-9 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]-5-iodo-(9CI) (CA INDEX NAME)

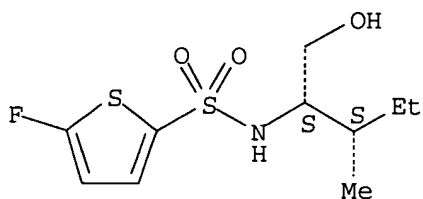
Absolute stereochemistry.



RN 443990-77-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-fluoro-N-[(1S,2S)-1-(hydroxymethyl)-2-methylbutyl]- (9CI) (CA INDEX NAME)

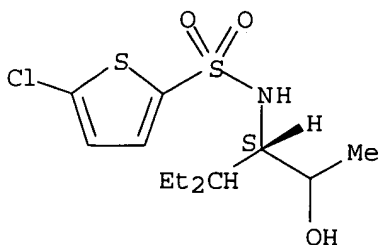
Absolute stereochemistry.



RN 443990-79-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-ethyl-1-(1-hydroxyethyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

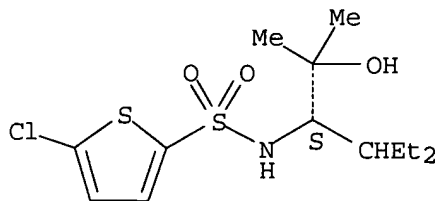


RN 443990-80-5 HCAPLUS

09/14/2006 10810517.trn

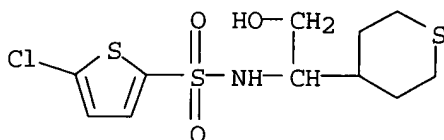
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-ethyl-1-(1-hydroxy-1-methylethyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443990-81-6 HCAPLUS

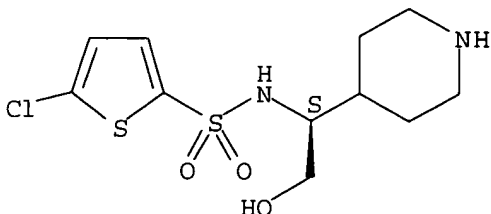
CN 2-Thiophenesulfonamide, 5-chloro-N-[2-hydroxy-1-(tetrahydro-2H-thiopyran-4-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 443990-82-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-(4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

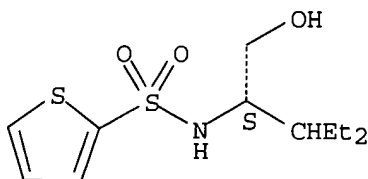
Absolute stereochemistry.



RN 443990-83-8 HCAPLUS

CN 2-Thiophenesulfonamide, N-[(1S)-2-ethyl-1-(hydroxymethyl)butyl]- (9CI) (CA INDEX NAME)

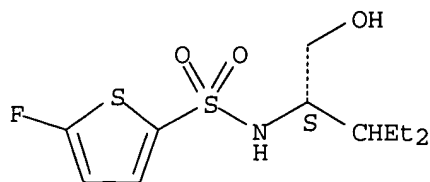
Absolute stereochemistry.



RN 443990-84-9 HCAPLUS

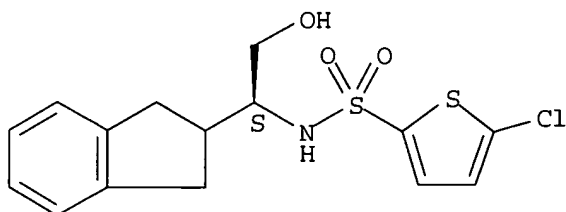
CN 2-Thiophenesulfonamide, N-[(1S)-2-ethyl-1-(hydroxymethyl)butyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



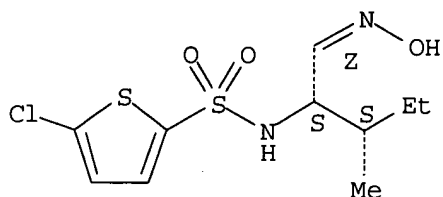
RN 443990-85-0 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-(2,3-dihydro-1H-inden-2-yl)-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



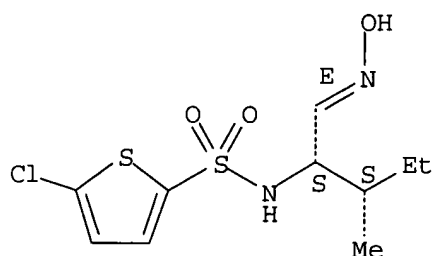
RN 443990-86-1 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[(Z)-(hydroxyimino)methyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



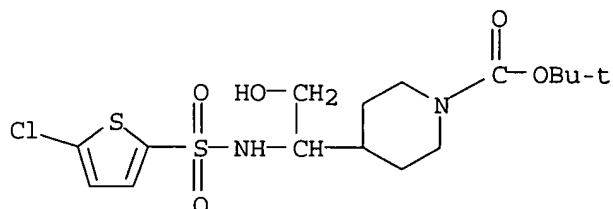
RN 443990-87-2 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S,2S)-1-[(E)-(hydroxyimino)methyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 443990-90-7 HCAPLUS

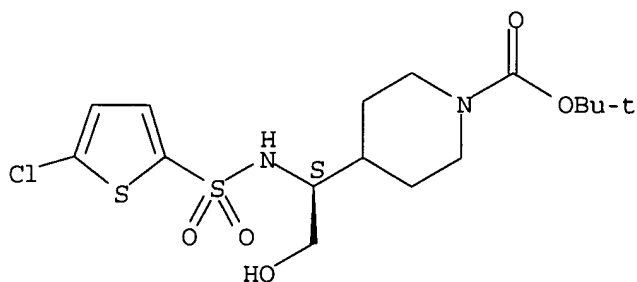
CN 1-Piperidinecarboxylic acid, 4-[1-[[[(5-chloro-2-thienyl)sulfonyl]amino]-2-hydroxyethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443991-26-2 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(1S)-1-[[[(5-chloro-2-thienyl)sulfonyl]amino]-2-hydroxyethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

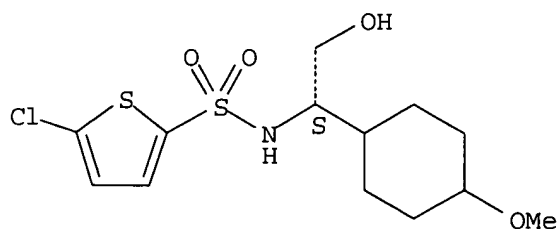
Absolute stereochemistry.



RN 444103-24-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-(4-methoxycyclohexyl)ethyl]- (9CI) (CA INDEX NAME)

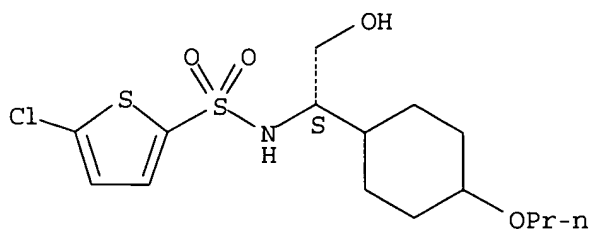
Absolute stereochemistry.



RN 444103-25-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-(4-propoxycyclohexyl)ethyl] - (9CI) (CA INDEX NAME)

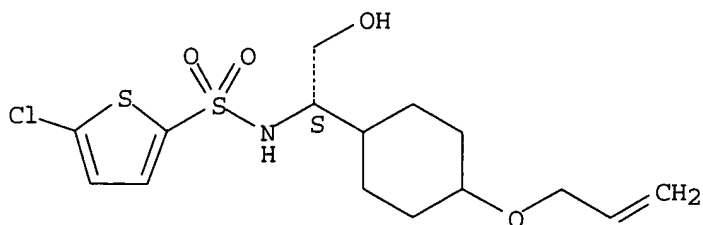
Absolute stereochemistry.



RN 444103-26-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-(2-propenyloxy)cyclohexyl]ethyl] - (9CI) (CA INDEX NAME)

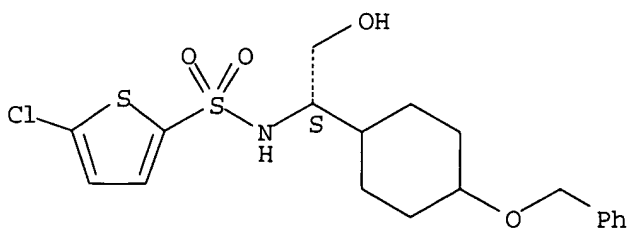
Absolute stereochemistry.



RN 444103-27-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-(phenylmethoxy)cyclohexyl]ethyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

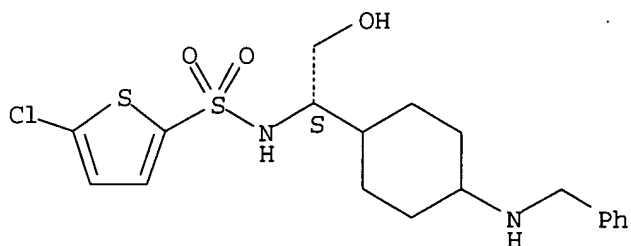


09/14/2006 10810517.trn

RN 444103-28-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-
[(phenylmethyl)amino]cyclohexyl]ethyl]- (9CI) (CA INDEX NAME)

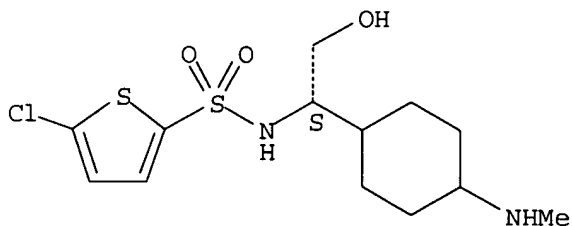
Absolute stereochemistry.



RN 444103-29-1 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-
(methylamino)cyclohexyl]ethyl]- (9CI) (CA INDEX NAME)

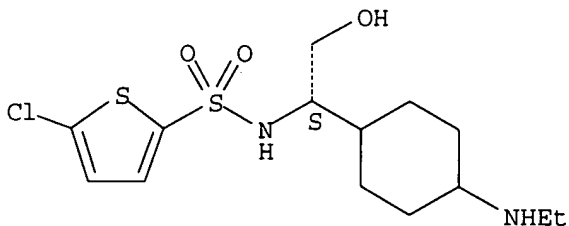
Absolute stereochemistry.



RN 444103-30-4 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-1-[4-(ethylamino)cyclohexyl]-2-
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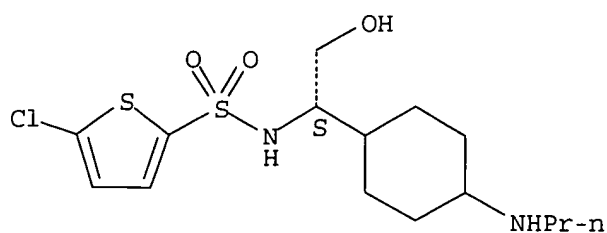
Absolute stereochemistry.



RN 444103-31-5 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-
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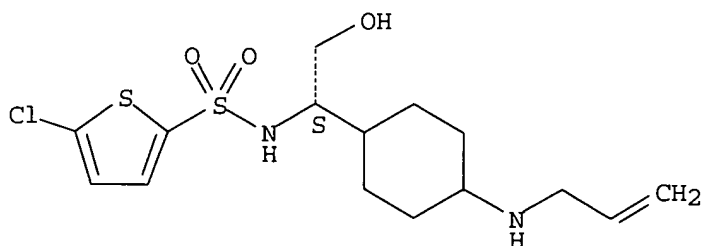
Absolute stereochemistry.



RN 444103-32-6 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-(2-propenylamino)cyclohexyl]ethyl]- (9CI) (CA INDEX NAME)

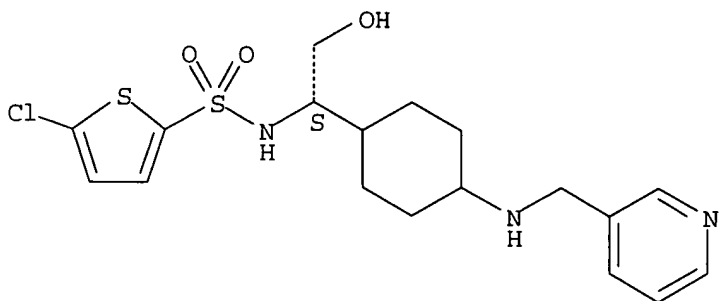
Absolute stereochemistry.



RN 444103-33-7 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-[(3-pyridinylmethyl)amino]cyclohexyl]ethyl]- (9CI) (CA INDEX NAME)

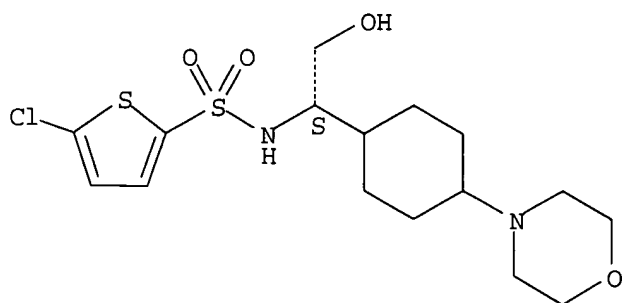
Absolute stereochemistry.



RN 444103-34-8 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-(4-morpholinyl)cyclohexyl]ethyl]- (9CI) (CA INDEX NAME)

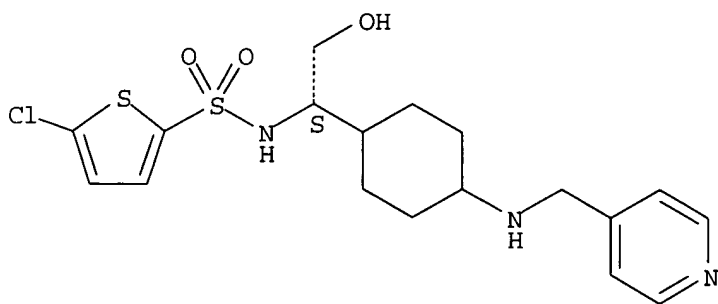
Absolute stereochemistry.



RN 444103-35-9 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-[(4-pyridinylmethyl)amino]cyclohexyl]ethyl]- (9CI) (CA INDEX NAME)

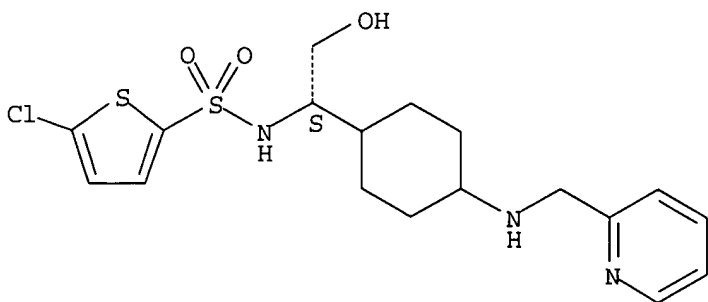
Absolute stereochemistry.



RN 444103-36-0 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-[(2-pyridinylmethyl)amino]cyclohexyl]ethyl]- (9CI) (CA INDEX NAME)

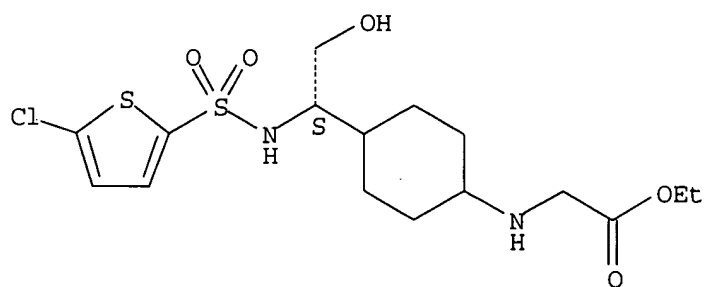
Absolute stereochemistry.



RN 444103-37-1 HCAPLUS

CN Glycine, N-[4-[(1S)-1-[[5-chloro-2-thienyl)sulfonyl]amino]-2-hydroxyethyl]cyclohexyl]-, ethyl ester (9CI) (CA INDEX NAME)

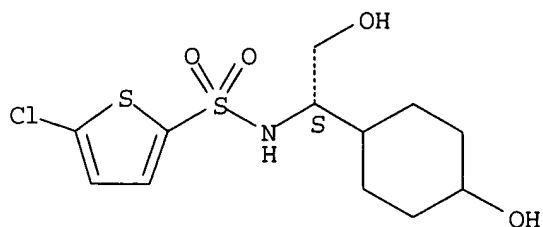
Absolute stereochemistry.



RN 444103-38-2 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-(4-hydroxycyclohexyl)ethyl]- (9CI) (CA INDEX NAME)

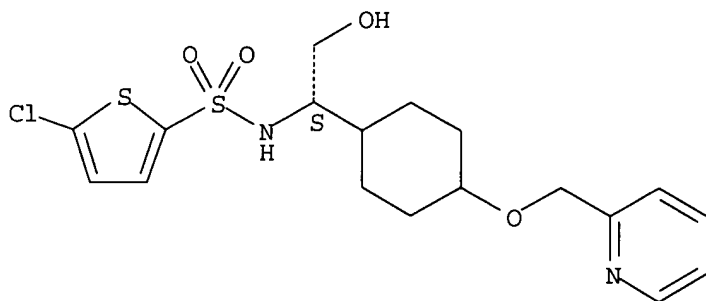
Absolute stereochemistry.



RN 444103-39-3 HCAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-(2-pyridinylmethoxy)cyclohexyl]ethyl]- (9CI) (CA INDEX NAME)

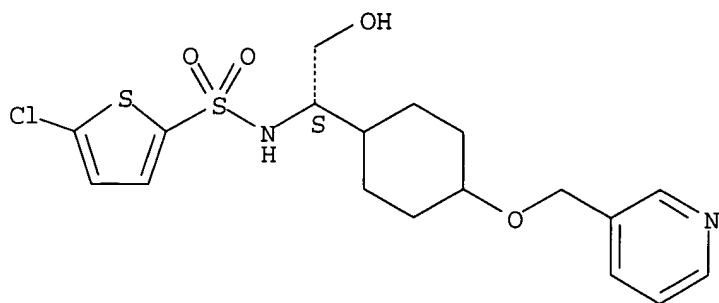
Absolute stereochemistry.



RN 444103-40-6 HCAPLUS

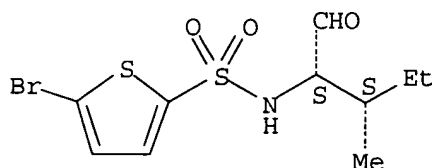
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1S)-2-hydroxy-1-[4-(3-pyridinylmethoxy)cyclohexyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



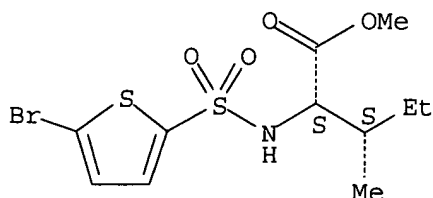
IT 443991-22-8 443991-24-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (starting materials; preparation of N-substituted thiophene- and
 furansulfonamides as inhibitors of β -amyloid
 production in the treatment of β -amyloid mediated
 diseases such as Alzheimer's disease)
 RN 443991-22-8 HCAPLUS
 CN 2-Thiophenesulfonamide, 5-bromo-N-[(1S,2S)-1-formyl-2-methylbutyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 443991-24-0 HCAPLUS
 CN L-Isoleucine, N-[(5-bromo-2-thienyl)sulfonyl]-, methyl ester (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

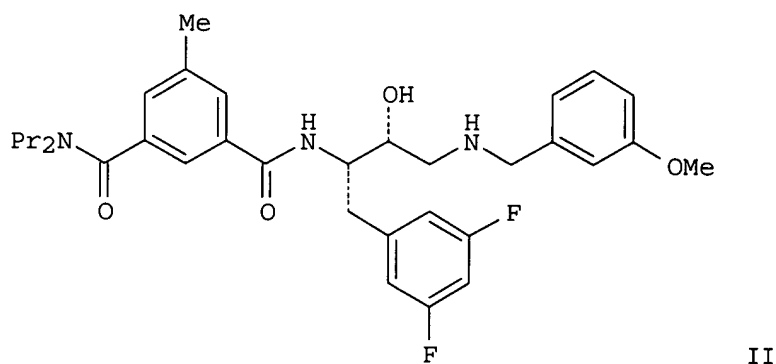
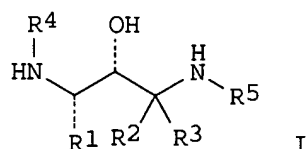


L24 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:31402 HCAPLUS
 DOCUMENT NUMBER: 136:102190
 TITLE: Preparation of substituted amines to treat Alzheimer's
 disease
 INVENTOR(S): Maillaird, Michel; Hom, Court; Gailunas, Andrea;
 Jagodzinska, Barbara; Fang, Lawrence Y.; John,
 Varghese; Freskos, John N.; Pulley, Shon R.; Beck,
 James P.; Tenbrink, Ruth E.
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn

SOURCE: Company
PCT Int. Appl., 651 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002512	A2	20020110	WO 2001-US21012	20010629 <--
WO 2002002512	A3	20030821		
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EE 200200716	A	20040816	EE 2002-716	20010629
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			US 2001-295589P	P 20010604
			EP 2001-950719	A3 20010629
			EP 2001-952352	A3 20010629
			WO 2001-US21012	W 20010629

OTHER SOURCE(S): MARPAT 136:102190
GI



AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO₂, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH₂)₀₋₃cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepared Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamide in the presence of Et₃N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC₅₀ of < 50 μM against beta-secretase.

IT 388066-57-7P 388070-66-4P 388070-88-0P
388072-07-9P

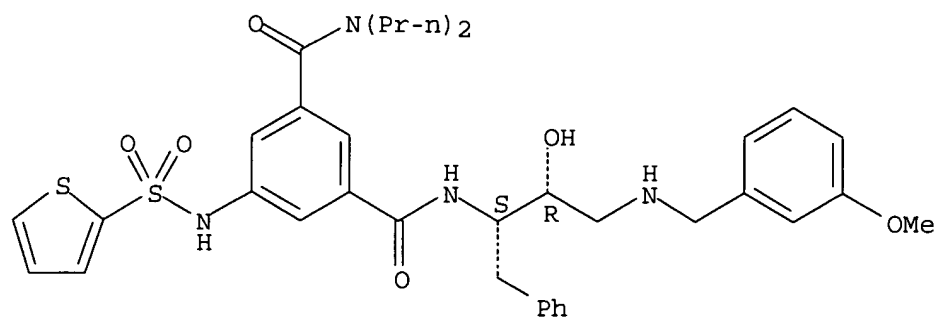
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

RN 388066-57-7 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl-5-[(2-thienylsulfonyl)amino]- (9CI) (CA INDEX NAME)

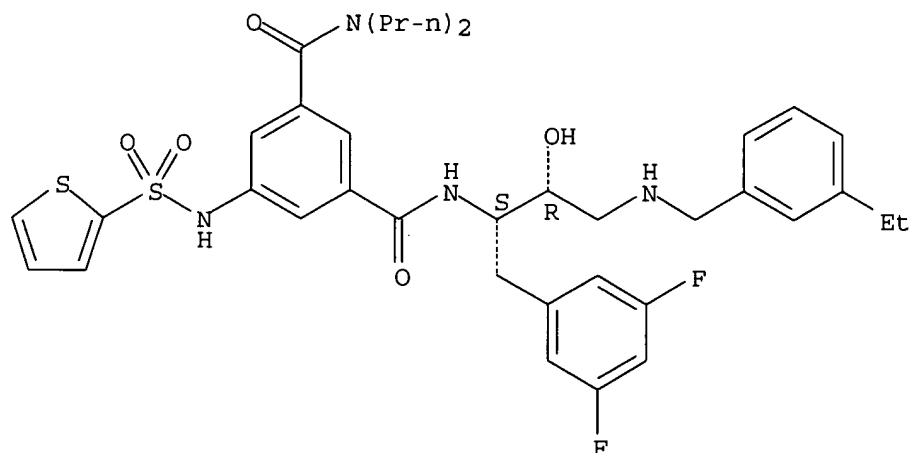
Absolute stereochemistry.



RN 388070-66-4 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-N,N-dipropyl-5-[(2-thienylsulfonyl)amino]- (9CI) (CA INDEX NAME)

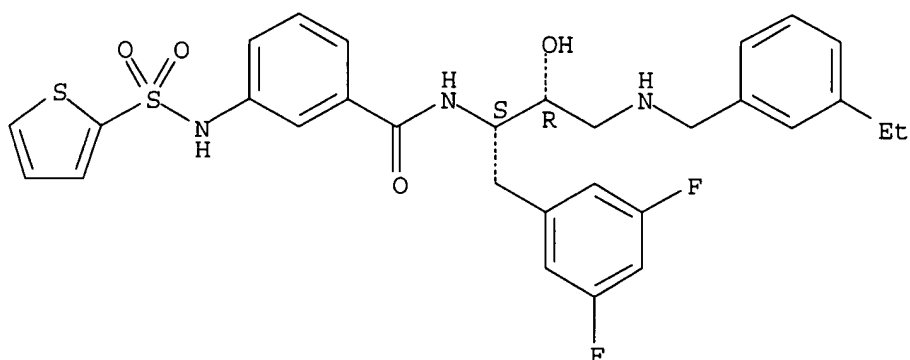
Absolute stereochemistry.



RN 388070-88-0 HCAPLUS

CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-[(2-thienylsulfonyl)amino]- (9CI) (CA INDEX NAME)

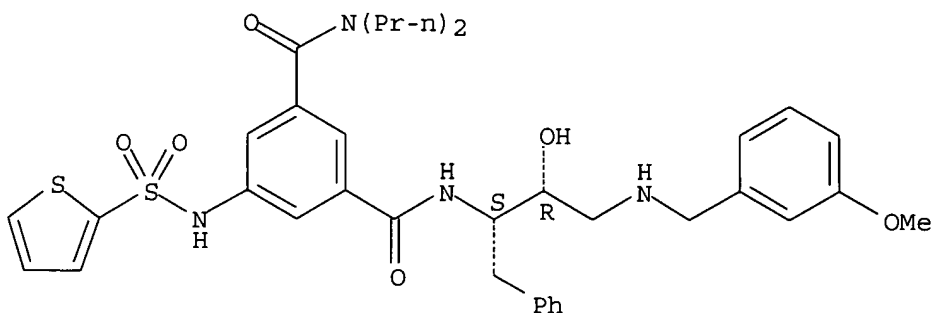
Absolute stereochemistry.



RN 388072-07-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl-5-[(2-thienylsulfonyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

=> d 123 ibib abs 1-10

L23 ANSWER 1 OF 130 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:53654 HCAPLUS

DOCUMENT NUMBER: 144:150232

TITLE: Preparation of indolyl containing sulfonamides as inhibitors of cytosolic phospholipase A2

INVENTOR(S): McKew, John C.; Tam, Steven Y.; Lee, Katherine L.; Chen, Lihren; Thakker, Paresh; Sum, Fuk-Wah; Behnke, Mark L.; Hu, Baihua; Clark, James D.; Li, Wei; Clerin, Valerie; Marusic, Suzana; Pong, Kevin

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 103 pp., Cont.-in-part of U.S. Ser. No. 722,,782.

CODEN: USXXCO

DOCUMENT TYPE: Patent

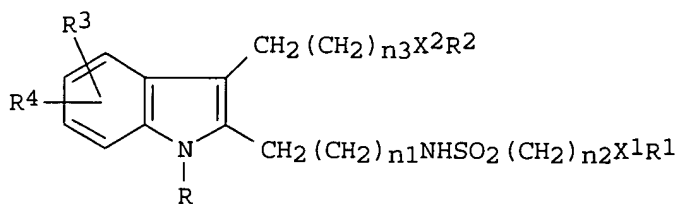
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006014759	A1	20060119	US 2005-140390	20050527 <--
US 2003144282	A1	20030731	US 2002-302636	20021122 <--
US 6797708	B2	20040928		
US 2004082785	A1	20040429	US 2003-722782	20031126 <--
US 6984735	B2	20060110		

PRIORITY APPLN. INFO.:
 US 2001-334588P P 20011203
 US 2002-302636 A2 20021122
 US 2003-722782 A2 20031126

OTHER SOURCE(S): MARPAT 144:150232
GI



I

AB This invention provides substituted indoles (shown as I; variables defined below; e.g. 4-[2-(1-benzhydryl-2-{2-[(benzylsulfonyl)amino]ethyl}-5-chloro-1H-indol-3-yl)ethoxy]benzoic acid) and pharmaceutically acceptable salt forms thereof, and methods for using the compds. as inhibitors of the activity of various phospholipase enzymes, particularly phospholipase A2 enzymes, and for the medical treatment, prevention and inhibition of diseases and disorders including asthma, stroke, atherosclerosis, multiple sclerosis, Parkinson's disease, arthritic disorders, rheumatic disorders, central nervous system damage resulting from stroke, central nervous system damage resulting from ischemia, central nervous system damage resulting from trauma, inflammation caused or potentiated by prostaglandins, inflammation caused or potentiated by leukotrienes, inflammation caused or potentiated by platelet activation factor, pain caused or potentiated by prostaglandins, pain caused or potentiated by leukotrienes, and pain caused or potentiated by platelet activation factor. Cytosolic phospholipase A2 inhibition activities for apprx.300 examples of I are tabulated. Also, an effect of cPLA2 inhibitor in models of multiple sclerosis, atherosclerosis, stroke, cerebral artery occlusion, and Parkinson's disease was tested (data given). Example preps. of 300 I are included. For example, the example above was prepared in 8 steps starting from 4-hydroxybenzoic acid Me ester and 2-bromo-1,1-diethoxyethane. For I: R = (CH2)nA, (CH2)nSA, or (CH2)nOA (A = CHBD, CHBC; D = alkyl, alkoxy, cycloalkyl, CF3 or (CH2)1-3CF3; B and C = Ph, pyridinyl, pyrimidinyl, furyl, thienyl or pyrrolyl); n = 0-3; n1 = 1-3; n2 = 0-4; n3 = 0-3; n4 = 0-2; X1 = a chemical bond, S, O, S(O), S(O)2, NH, NHC(O), C:C, N(alkyl), NHC(O), N(alkyl)C(O); R1 = alkyl, fluorinated alkyl, cycloalkyl, tetrahydropyranyl, camphoryl, adamantyl, CN, N(alkyl)2, Ph, pyridinyl, pyrimidinyl, furyl, thienyl, naphthyl, morpholinyl, triazolyl, pyrazolyl, piperidinyl, pyrrolidinyl, imidazolyl, piperazinyl, thiazolidinyl, thiomorpholinyl, tetrazole, indole, benzoxazole, benzofuran, imidazolidine-2-thione, 7,7-dimethylbicyclo[2.2.1]heptan-2-one, benzo[1,2,5]oxadiazole, 2-oxa-5-azabicyclo[2.2.1]heptane,

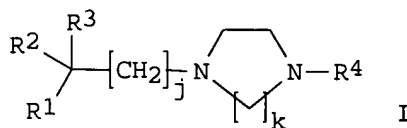
piperazin-2-one or pyrrolyl. X2 = O, CH2, S, SO, SO2, NH, C(O); R2 = Ph, pyridinyl, pyrimidinyl, furyl, thienyl or pyrrolyl; R3 = H, halo, CN, CHO, CF3, OCF3, OH, alkyl, alkoxy, thioalkyl, NH2, N(alkyl)2, NH(alkyl), NC(O)(alkyl), or NO2; R4 = H, halo, CN, CHO, CF3, OCF3, OH, alkyl, alkoxy, thioalkyl, NH2, N(alkyl)2, NH(alkyl), NC(O)(alkyl), NO2, NC(O)N(alkyl)2, NC(O)NH(alkyl), NC(O)O(alkyl), SO2(alkyl), S(cycloalkyl), SCH2(cycloalkyl), SO2(cycloalkyl), SO2CH2(cycloalkyl), cycloalkyl, CH2(cycloalkyl), O(cycloalkyl), OCH2(cycloalkyl), Ph, benzyl, benzyloxy, morpholino or other heterocycles such as pyrrolidino and piperidine; addnl. details are given in the claims.

L23 ANSWER 2 OF 130 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:88296 HCAPLUS
DOCUMENT NUMBER: 140:163894
TITLE: Preparation of diarylalkyl cyclic diamine derivatives as chemokine receptor antagonists
INVENTOR(S): Shiota, Tatsuki; Yamagami, Shinsuke; Kataoka, Kenichiro; Endo, Noriaki; Tanaka, Hiroko; Barnum, Doug; Greene, Jonathan; Moree, Wilna; Weinhouse, Michele Ramirez; Tarby, Christine M.
PATENT ASSIGNEE(S): Teijin Intellectual Property Center Limited, Japan; Combichem, Inc.
SOURCE: U.S., 72 pp., Cont.-in-part of U.S. Ser. No. 858,238, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6686353	B1	20040203	US 1999-180994	19990715 <--
JP 09309877	A2	19971202	JP 1996-147846	19960520 <--
WO 9744329	A1	19971127	WO 1997-US8577	19970520 <--
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			JP 1996-147846	A 19960520
			US 1997-858238	B2 19970519
			WO 1997-US8577	W 19970520

OTHER SOURCE(S): MARPAT 140:163894
GI



AB The title compds. [I; R1, R2 = (un)substituted Ph, aromatic heterocyclyl having 1-3 heteroatoms selected from O, S and N; R3 = H, OH, CN, alkoxy, alkanoyloxy; j = 0-3; k = 2-3; R4 = AlR7 (wherein R7 = (un)substituted Ph, phenylsulfonyl, (un)substituted CONH2; Al = (CH2)m, (CH2)pG(CH2)q; G = O, CO, SO2, CONH, etc.; m = 0-3; p = 1-3; q = 0-1), etc.] which inhibit the action of chemokines such as MIP-1 α and/or MCP-1 on target cells, and are useful as therapeutic drugs and/or preventive drugs in

diseases, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissue, were prepared. Thus, reacting homopiperazine with 3,3-diphenylpropyl methanesulfonate followed by alkylating the resulting intermediate with 4-nitrobenzyl bromide afforded 1-(3,3-diphenylpropyl)-4-(4-nitrobenzyl)homopiperazine. The compds. I were tested for inhibition of MIP-1 α binding to THP-1 cells and MCP-1 binding to THP-1 cells (data given).

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 130 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:1006781 HCAPLUS
DOCUMENT NUMBER: 140:23241
TITLE: Anti-inflammatory compositions and methods of use
INVENTOR(S): McMaster, Brian
PATENT ASSIGNEE(S): Chemocentryx, USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105857	A1	20031224	WO 2003-US16558	20030527 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003236249	A1	20031225	US 2002-171097	20020612 <--
US 6727241	B2	20040427		
CA 2487331	AA	20031224	CA 2003-2487331	20030527 <--
AU 2003234642	A1	20031231	AU 2003-234642	20030527 <--
EP 1534293	A1	20050601	EP 2003-729143	20030527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1658881	A	20050824	CN 2003-813413	20030527
JP 2005538060	T2	20051215	JP 2004-512760	20030527
PRIORITY APPLN. INFO.:			US 2002-171097	A 20020612
			WO 2003-US16558	W 20030527

OTHER SOURCE(S): MARPAT 140:23241

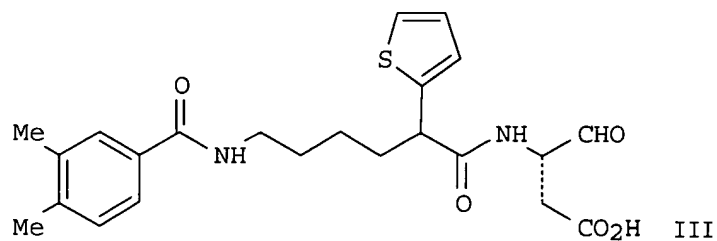
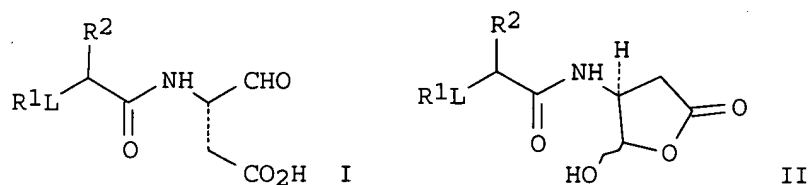
AB The present invention is directed to pharmaceutical compns. containing active compds., which inhibit the activity of the chemokines, MIP-1 α and RANTES. It also is directed to methods of treating inflammatory and immunoregulatory disorders and diseases using these pharmaceutical compns. Calcium signaling inhibition by and affinity values for CCR1-MIP-1 α binding for a few compds. are provided.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 4 OF 130 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:991296 HCAPLUS
 DOCUMENT NUMBER: 140:41822
 TITLE: Preparation of acylamino(formyl)propanoic acids as caspase-1 inhibitors
 INVENTOR(S): Allen, Darin; Fahr, Bruce; Oslob, Johan; Raimundo, Brian C.; Romanowski, Michael J.
 PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103599	A2	20031218	WO 2003-US18021	20030605 <--
WO 2003103599	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003238948 A1 20031222 AU 2003-238948 20030605 <-- US 2004048895 A1 20040311 US 2003-456458 20030605 <-- PRIORITY APPLN. INFO.: US 2002-386501P P 20020605 WO 2003-US18021 W 20030605 OTHER SOURCE(S): MARPAT 140:41822 GI				



AB Compds. of formulas I and II [R1, R2 = aryl, aralkyl, heteroaryl, heteroaralkyl; L = linker] are prepared as caspase-1 inhibitors for the

treatment of diseases such as inflammation, rheumatoid arthritis or sepsis. The compds. can also be used for preserving or storing mammalian organs or tissues by reducing apoptotic cell death. Thus, III was prepared in several steps.

L23 ANSWER 5 OF 130 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:991283 HCAPLUS

DOCUMENT NUMBER: 140:42175

TITLE: Preparation of ether- and related-substituted imidazopyridines as cytokine biosynthesis inducers for modifying immune response

INVENTOR(S): Dellaria, Joseph F.; Lindstrom, Kyle J.; Dressel, Luke T.; Duffy, Daniel E.; Heppner, Philip D.; Jacobsen, John R.; Moseman, Joan T.; Moser, William H.; Radmer, Matthew R.; Stoermer, Doris D.; Zimmerman, Bernhard M.

PATENT ASSIGNEE(S): 3m Innovative Properties Company, USA

SOURCE: PCT Int. Appl., 302 pp.

CODEN: PIXXD2

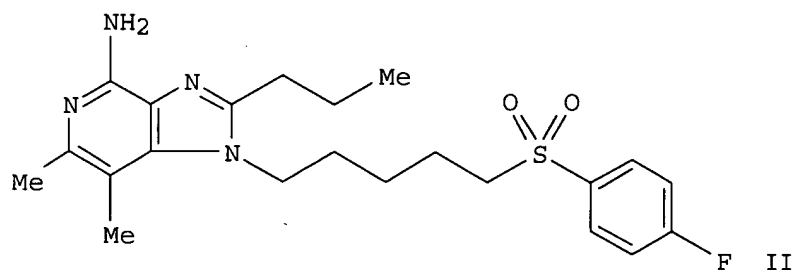
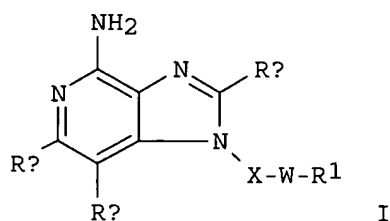
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103584	A2	20031218	WO 2003-US17659	20030606 <--
WO 2003103584	A3	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488801	AA	20031218	CA 2003-2488801	20030606 <--
AU 2003237386	A1	20031222	AU 2003-237386	20030606 <--
US 2004010007	A1	20040115	US 2003-456308	20030606 <--
US 6797718	B2	20040928		
EP 1513524	A2	20050316	EP 2003-736844	20030606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011648	A	20050419	BR 2003-11648	20030606
CN 1674894	A	20050928	CN 2003-818678	20030606
JP 2005538057	T2	20051215	JP 2004-510705	20030606
US 2005032830	A1	20050210	US 2004-916125	20040811 <--
NO 2004005445	A	20050218	NO 2004-5445	20041214
PRIORITY APPLN. INFO.:			US 2002-387268P	P 20020607
			US 2003-456308	A3 20030606
			WO 2003-US17659	W 20030606
OTHER SOURCE(S): MARPAT 140:42175				
GI				



AB Imidazopyridine compds. that contain an ether or thioether (oxidized or non-oxidized) functionality at the 1-position (shown as I; variables defined below; e.g. II) are useful as immune response modifiers (no data). The compds. and compns. of the invention can induce the biosynthesis of various cytokines (no data) and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases (no data). Methods of preparing the compds. and intermediates useful in the preparation of the compds. are also disclosed. Although the methods of preparation are not claimed, 125 example preps. are included. For example, II was prepared in 6 steps starting from 5-[(2-chloro-5,6-dimethyl-3-nitropyridin-4-yl)amino]pentan-1-ol (prepared from 2,4-dichloro-5,6-dimethyl-3-nitropyridine and 5-amino-1-pentanol) and involving intermediates 5-[(5,6-dimethyl-8-nitrotetrazolo[1,5-a]pyridin-7-yl)amino]pentan-1-ol, (5-chloropentyl) (5,6-dimethyl-8-nitrotetrazolo[1,5-a]pyridin-7-yl)amine, N-(5-chloropentyl)-5,6-dimethyltetrazolo[1,5-a]pyridine-7,8-diamine, 7-(5-chloropentyl)-5,6-dimethyl-8-propyl-7H-imidazo[4,5-c]tetrazolo[1,5-a]pyridine, and 7-[5-(4-fluorobenzenesulfonyl)pentyl]-5,6-dimethyl-8-propyl-7H-imidazo[4,5-c]tetrazolo[1,5-a]pyridine. For I: X is -CHR5-, -CHR5-alkylene-, -CHR5-alkenylene-, or CHR5-alkylene-Y-alkylene-; Y is -O-, or -S(O)O-2-; -W-R1 = -OR1-1-5 and -S(O)O-2-R1-6; R1-1-5 = -R6CR7-Z-R8-alkyl, -R6CR7-Z-R8-alkenyl, -R6-NR9C(R7)-R8-alkenyl, -alkenyl, -aryl, -R6-aryl, -heteroaryl, -heterocyclyl, -R6-heteroaryl, -R6-heterocyclyl, etc.; Z is -NR5-, -O-, or -S-; Q is a bond, -CO-, or -SO2-; A = the atoms necessary to provide a 5- or 6-membered heterocyclic or heteroarom. ring that contains up to three heteroatoms. R1-6 = alkyl, aryl, heteroaryl, heterocyclyl, alkenyl, R6-aryl, R6-heteroaryl, and R6-heterocyclyl; each R5 = H, C1-10 alkyl, or C2-10 alkenyl; R6 is alkylene, alkenylene, or alkynylene, which may be interrupted by ≥ 1 -O- groups; R7 is O or =S; R8 is a bond, alkylene, alkenylene, or alkynylene, which may be interrupted by ≥ 1 -O- groups; R9 is H, C1-10 alkyl, or arylalkyl; or R9 can join together with any C atom of R6 to form a ring -N-(CH2)3-8-; R10 is H or C1-10-alkyl; or R9 and R10 can join together to form a ring = -N-C(R7)R12 or -N-SO2-R12; R11 is C1-10-alkyl; or R9 and R11 can join together to form a ring -N-C(R7)-N[(CH2)2-7]-; R12 is C2-7 alkylene which is straight chain or branched, wherein the branching does not prevent formation of the ring; and RX, RY and RZ = H and non-interfering

substituents.

L23 ANSWER 6 OF 130 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:950984 HCAPLUS

DOCUMENT NUMBER: 140:5067

TITLE: Preparation of N-heteroaryl- and N-arylbenzenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents

INVENTOR(S): Fleming, Paul; Harriman, Geraldine C. B.; Shi, Zhan; Chen, Shaowu

PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

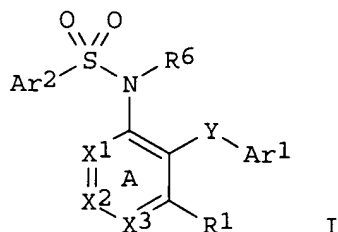
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099773	A1	20031204	WO 2003-US16090	20030521 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2485681	AA	20031204	CA 2003-2485681	20030521 <--
AU 2003248549	A1	20031212	AU 2003-248549	20030521 <--
US 2004038976	A1	20040226	US 2003-443155	20030521 <--
EP 1507756	A1	20050223	EP 2003-755422	20030521
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005526857	T2	20050908	JP 2004-507431	20030521
ZA 2004009131	A	20050712	ZA 2004-9131	20041111
US 2006167251	A1	20060727	US 2006-391633	20060328 <--
PRIORITY APPLN. INFO.:			US 2002-383573P	P 20020524
			US 2003-443155	A3 20030521
			WO 2003-US16090	W 20030521

OTHER SOURCE(S): MARPAT 140:5067

GI

AB The title compds. [I; Y is C(O), O, S, S(O), or S(O)₂; X1, X2, and X3 are

each, independently, N or CR, provided that at least one of X1, X2, or X3 is CR; R for each occurrence and R1 are each, independently, H or a substituent; R6 is H, an aliphatic carbonyl group, or an aliphatic ester; ring

A

is substituted or unsubstituted; and Ar1 and Ar2 are each, independently, an (un)substituted aryl or heteroaryl] or pharmaceutically acceptable salts, solvates or hydrates thereof are prepared These compds. I can bind to CCR9 receptors and block the binding of a ligand (e.g., TECK) to the receptors. The invention also relates to a method of inhibiting a function of CCR9, in particular treating or preventing an inflammatory disease or condition and to the use the compds. I in research, therapeutic, prophylactic, and diagnostic methods. CCR9 and its associated chemokine TECK, have been implicated in chronic inflammatory diseases, such as inflammatory bowel diseases. Small mol. inhibitors of the interaction between CCR9 and its ligands (e.g., TECK), such as the compds. I, are useful for inhibiting harmful inflammatory processes triggered by receptor-ligand interactions and thus are useful for treating diseases mediated by CCR9, such as chronic inflammatory diseases. For example, 14 compds. including N-(2-benzoyl-4-bromophenyl)-4-methoxybenzenesulfonamide, 5-(oxazol-5-yl)thiophene-2-sulfonic acid (2-benzoyl-4-chlorophenyl)amine inhibited the binding of human TECK to human CCR9 receptors with IC50 value less than or equal to .apprx.1.0 μ M.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 7 OF 130 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:931327 HCAPLUS

DOCUMENT NUMBER: 140:4959

TITLE: Preparation of indole derivatives as PGD2 receptor antagonists

INVENTOR(S): Tanimoto, Norihiko; Hiramatsu, Yoshiharu; Mitsumori, Susumu; Inagaki, Masanao

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097598	A1	20031127	WO 2003-JP6076	20030515 <--
WO 2003097598	C1	20040708		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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AU 2003231509	A1	20031202	AU 2003-231509	20030515 <--
EP 1505061	A1	20050209	EP 2003-725791	20030515
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			

US 2005171143
PRIORITY APPLN. INFO.:

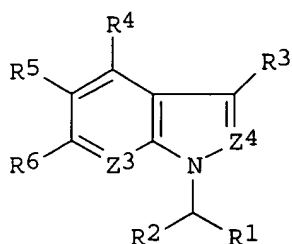
A1 20050804

US 2003-514317
JP 2002-142126
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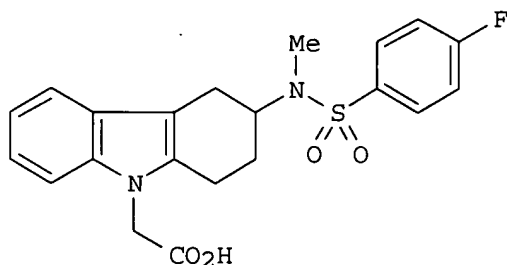
20030515 <--
A 20020516
W 20030515

OTHER SOURCE(S):
GI

MARPAT 140:4959



I



II

AB The title compds. I [wherein Z3 = N or CR7; R4-R7 = independently H, halo, haloalkyl, CO2H, alkoxy carbonyl, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, or aralkyl; R1 = CO2H, alkoxy carbonyl, (un)substituted aminocarbonyl, or tetrazolyl; Z4 = N or CR8; R8 = H, alkyl, or halo; R2 = H or alkyl; R3 = -(CH2)n-N(Y)-SO2-Ar, etc.; n = 1-3; Y = H, alkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl, heteroarylalkyl, or arylalkenyl; Ar = (un)substituted aryl or heteroaryl] and prodrugs, pharmaceutically acceptable salts, or solvates thereof are prepared as CRTH2 receptor antagonists, and are useful for the treatment of allergic diseases (no data). For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.0036 μ M against human CRTH2 receptor. Formulations containing I as an active ingredient were also described.

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 8 OF 130 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:887694 HCAPLUS

DOCUMENT NUMBER: 139:358745

TITLE: Polyamine analogues as therapeutic and diagnostic agents

INVENTOR(S): Vermeulin, Nicolaas M. J.; O'Day, Christine L.; Webb, Heather K.; Burns, Mark R.; Bergstrom, Donald E.

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 78 pp., Cont.-in-part of U.S. Ser. No. 396,523. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6646149	B1	20031111	US 2000-584175	20000531 <--
WO 9903823	A2	19990128	WO 1998-US14896	19980715 <--
WO 9903823	A3	19990408		

W: AL, AM, AU, AZ, BA, BB, BG, BR, CA, CN, CU, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, BY, KZ, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6172261 B1 20010109 US 1999-341400 19990903 <--
CA 2410935 AA 20011206 CA 2001-2410935 20010531 <--
WO 2001092218 A2 20011206 WO 2001-US17795 20010531 <--
WO 2001092218 A3 20030327

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
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GW, ML, MR, NE, SN, TD, TG

EP 1317424 A2 20030611 EP 2001-946044 20010531 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004509845 T2 20040402 JP 2002-500833 20010531

CN 1512982 A 20040714 CN 2001-810510 20010531

PRIORITY APPLN. INFO.:

US 1997-52586P P 19970715

US 1997-65728P P 19971114

US 1998-85538P P 19980515

WO 1998-US14896 A2 19980715

US 1999-341400 A2 19990903

US 1999-396523 A2 19990915

US 2000-584175 A 20000531

WO 2001-US17795 W 20010531

AB Novel "bispolyamine" inhibitor compds. of polyamine transport are disclosed. These compds. are useful pharmaceutical agents for treating diseases where it is desired to inhibit polyamine transport or other polyamine binding proteins, for example cancer and post-angioplasty injury. These compds. display desirable activities both for diagnostic and research assays and therapy.

REFERENCE COUNT: 168 THERE ARE 168 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 130 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:837231 HCAPLUS

DOCUMENT NUMBER: 139:323520

TITLE: Preparation of tri-substituted heteroaryls as potent antagonists of the TGF β family type I receptors, Alk 5 and/or Alk 4

INVENTOR(S): Lee, Wen-cherng; Sun, Lihong; Shan, Feng; Chuaqui, Claudio; Zheng, Zhongli; Petter, Russell C.

PATENT ASSIGNEE(S): Biogen, Inc., USA

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

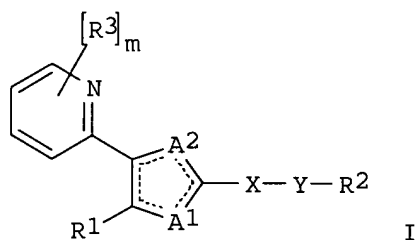
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087304	A2	20031023	WO 2003-US10440	20030404 <--

WO 2003087304 A3 20040722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2480860 AA 20031023 CA 2003-2480860 20030404 <--
AU 2003228446 A1 20031027 AU 2003-228446 20030404 <--
EP 1499308 A2 20050126 EP 2003-726198 20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
CN 1658866 A 20050824 CN 2003-812699 20030404
JP 2005527590 T2 20050915 JP 2003-584248 20030404
BR 2004000022 A 20050913 BR 2004-22 20040115
ZA 2004007902 A 20050922 ZA 2004-7902 20040930
NO 2004004779 A 20050104 NO 2004-4779 20041103
US 2006063809 A1 20060323 US 2005-510459 20050825 <--
PRIORITY APPLN. INFO.: US 2002-369793P P 20020404
WO 2003-US10440 W 20030404
OTHER SOURCE(S): MARPAT 139:323520
GI



AB The title compds. [I; R1 = (hetero)aryl, (hetero)aralkyl; R3 = alkyl, alkenyl, alkoxy, etc.; X = (hetero)cycloalkyl; Y = a bond, CO, CO₂, OCO, etc.; R2 = H, alkyl, cycloalkyl, etc.; A1, A2 = O, S, N, (un)substituted NH; provided that at least one of A1 and A2 = N; m = 0-3; provided that when m ≥ 2, two adjacent R3 can join together to form a 4-8 membered cyclic moiety] that possess unexpectedly high affinity for Alk 5 and/or Alk 4, and can be useful as antagonists thereof for preventing and/or treating numerous diseases, including fibrotic disorders, were prepared E.g., a multi-step synthesis of benzyl 4-[4-(benzo[1,3]dioxol-5-yl)-5-(6-methylpyridin-2-yl)-1H-imidazol-2-yl]-piperidine-1-carboxylate (starting from piperonyl chloride), was given. The compds. I typically exhibited IC₅₀ values of < 10 μM when tested in cell-free assay for evaluating inhibition of autophosphorylation of TGFβ type I receptor. Pharmaceutical composition comprising the compound I is claimed.

L23 ANSWER 10 OF 130 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:836829 HCAPLUS
DOCUMENT NUMBER: 139:323519
TITLE: Preparation of imidazoarenes as prostaglandin E2
subtype EP4 receptor antagonists for treatment of IL-6

involved diseases
 INVENTOR(S): Shimojo, Masato; Taniguchi, Kana
 PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
 SOURCE: PCT Int. Appl., 427 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086371	A2	20031023	WO 2003-IB1310	20030403 <--
WO 2003086371	A3	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481535	AA	20031023	CA 2003-2481535	20030403 <--
AU 2003214525	A1	20031027	AU 2003-214525	20030403 <--
EP 1499305	A2	20050126	EP 2003-710104	20030403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009200	A	20050222	BR 2003-9200	20030403
CN 1658847	A	20050824	CN 2003-813401	20030403
JP 2005533756	T2	20051110	JP 2003-583392	20030403
US 2003236260	A1	20031225	US 2003-411491	20030410 <--
NO 2004004462	A	20050111	NO 2004-4462	20041020
PRIORITY APPLN. INFO.:			US 2002-372364P	P 20020412
			WO 2003-IB1310	W 20030403
OTHER SOURCE(S):			MARPAT 139:323519	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to the use of a prostaglandin E2 (PGE2) subtype EP4 receptor ligand in the manufacture of a medicament for the treatment of interleukin 6 (IL-6) involved diseases, such as alc. cirrhosis, amyloidosis, atherosclerosis, cardiac disease, sclerosis, and organ transplantation reactions (no data). The invention also relates to the assay which comprises culturing peripheral whole blood with a test compound and determining the effect of the compound on PGE2-induced whole blood cells activation. Three hundred eighty title compds. I [wherein Y1-Y4 = N, CH, CL; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (un)substituted 5-6 membered (un)substituted monocyclic (hetero)aromatic ring; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo or alkyl group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (un)substituted monocyclic or bicyclic (hetero)aryl; L = halo, alkyl, haloalkyl, OH,

alkoxy, haloalkoxy, alkylthio, NO₂, amino, etc.] were prepared Thus, cycloaddn. of 2-[4-[(3-amino-4,6-dimethyl-2-pyridinyl)amino]phenyl]ethanol (4-step preparation given) with propionyl chloride in toluene provided 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl propionate, which was treated with aqueous LiOH to give the ethanol derivative (86%). Chlorination (90%) using thionyl chloride, conversion to the azide (85%), and Pd/C catalyzed hydrogenation afforded the amine (94%). Coupling of the amine with p-toluenesulfonyl isocyanate in CH₂Cl₂ gave II (56%). The latter significantly inhibited IL-6 secretion by PGE₂ in ConA-stimulated human peripheral blood mononuclear cells (PBMC).

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
91.05	940.48

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-13.50	-13.50

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